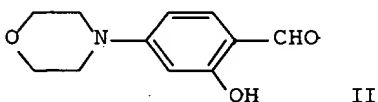
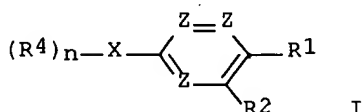


L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 2002:185097 CAPLUS  
 DN 136:247591  
 TI Preparation of arylmorpholines as inhibitors of DNA-dependent protein kinase and methods to potentiate cancer treatment  
 IN Halbrook, James; Kesicki, Edward; Burgess, Laurence E.; Schlachter, Stephen T.; Eary, Charles T.; Schiro, Justin G.; Huang, Hongmei; Evans, Michael; Han, Yongxin  
 PA Icos Corporation, USA  
 SO PCT Int. Appl., 247 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020500	A2	20020314	WO 2001-US26709	20010828
	WO 2002020500	A3	20030731		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001088432	A5	20020322	AU 2001-88432	20010828
	US 2002165218	A1	20021107	US 2001-941897	20010828
	EP 1351946	A2	20031015	EP 2001-968164	20010828
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRAI	US 2000-229899P	P	20000901		
	WO 2001-US26709	W	20010828		
OS	MARPAT 136:247591				
GI					



AB Compds. that inhibit DNA-dependent protein kinase, I [n = 0-4; X = (un)substituted 4-7 membered aliph. ring contg. 0-3 heteroatoms consisting of N, O and S (X = morpholinyl preferred); Z = independently N or CR<sub>3</sub>;  
 R<sub>3</sub> = independently H, halo, CHO, alkoxy, etc.; R<sub>1</sub> = H, (un)substituted alkyl, cycloalkyl, CO, NO<sub>2</sub>, etc.; R<sub>2</sub> = H, (un)substituted alkyl, carbamoyl, alkoxy, sulfamyl, etc.; with provision when X = morpholinyl, R<sub>2</sub> and R<sub>4</sub> and

R3 = H at each occurrence, then R1 is different from COMe, phenylalkene, and NO2; and with the provision that when X = morpholinyl, R4 = H and Z = N at each occurrence, then R1 and R2 when taken together is different from triazole], were prepd. and compns. of I with other antineoplastic agents are claimed for use in cancer treatment therapy. Thus, II was prepd. in 23% yield via formylation of 3-(4-morpholinyl)phenol. II demonstrated an IC50 value of 400 nM in DNA-PK assay. Preliminary results of animal tumor model studies indicate II enhanced the tumorigenic effect of total body irradiation (using 100-500 rad gamma-radiation, II delayed tumor growth

1.2 to 1.8-fold relative to animals receiving radiation only).

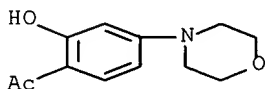
IT **404009-40-1P**

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compd.; prepn. of arylmorpholines as inhibitors of DNA-dependent protein kinase for cancer treatment)

RN 404009-40-1 CAPLUS

CN Ethanone, 1-[2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



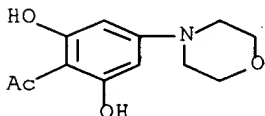
IT **404011-08-1P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compd.; prepn. of arylmorpholines as inhibitors of DNA-dependent protein kinase for cancer treatment)

RN 404011-08-1 CAPLUS

CN Ethanone, 1-[2,6-dihydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



IT **404009-52-5P 404009-54-7P 404009-56-9P**

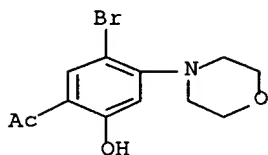
**404009-58-1P 404009-60-5P 404009-62-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of arylmorpholines as inhibitors of DNA-dependent protein kinase for cancer treatment)

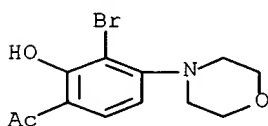
RN 404009-52-5 CAPLUS

CN Ethanone, 1-[5-bromo-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA  
INDEX  
NAME)



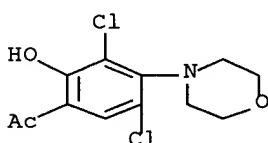
RN 404009-54-7 CAPLUS

CN Ethanone, 1-[3-bromo-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA  
INDEX NAME)



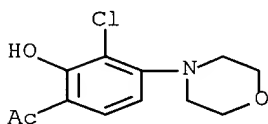
RN 404009-56-9 CAPLUS

CN Ethanone, 1-[3,5-dichloro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA  
INDEX NAME)



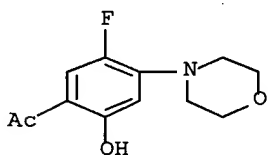
RN 404009-58-1 CAPLUS

CN Ethanone, 1-[3-chloro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA  
INDEX NAME)



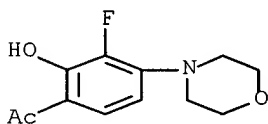
RN 404009-60-5 CAPLUS

CN Ethanone, 1-[5-fluoro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA  
INDEX NAME)

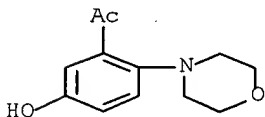


RN 404009-62-7 CAPLUS

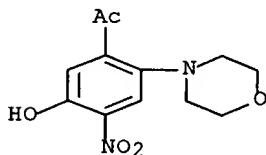
CN Ethanone, 1-[3-fluoro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA  
INDEX NAME)



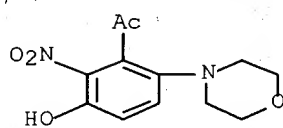
L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1997:335235 CAPLUS  
 DN 126:343344  
 TI Diels-Alder Reaction of 2-Amino-Substituted Furans as a Method for  
 Preparing Substituted Anilines  
 AU Padwa, Albert; Dimitroff, Martin; Waterson, Alex G.; Wu, Tianhua  
 CS Department of Chemistry, Emory University, Atlanta, GA, 30322, USA  
 SO Journal of Organic Chemistry (1997), 62(12), 4088-4096  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 126:343344  
 AB 5-Amino-2-furancarboxylic acid Me ester undergoes a facile Diels-Alder  
 cycloaddn. with a variety of dienophiles to afford ring-opened  
 cycloadducts that are readily dehydrated using BF<sub>3</sub>.OEt<sub>2</sub> to give  
 polysubstituted anilines. In each case, the cycloaddn. proceeds with  
 high regioselectivity, with the electron-withdrawing group being located  
 ortho to the amino group. The most favorable FMO interaction is between  
 the HOMO of the furanamine and the LUMO of the dienophile. The at.  
 coeff. at the ester carbon of the furan is larger than that at the amino  
 center, and this nicely accommodates the obsd. regioselectivity. The [4  
 + 2]-cycloaddn. of N-(5-nitrofuranyl)morpholine with Me vinyl ketone  
 affords a mixt. of three phenols. One of the phenols is derived from a  
 Diels-Alder reaction followed by nitro group ejection and subsequent  
 aromatization. The remaining two phenols are the result of cleavage of  
 the initially formed oxabicyclic intermediate with concomitant migration  
 of the nitro group. The mild reaction conditions with which  
 furan-2-carbamic acid tert-Bu ester undergoes Diels-Alder cycloaddn.  
 with N-phenylmaleimide allow for the ready isolation of the initial  
 oxybridged cycloadduct.  
 IT **189746-76-7P 189746-77-8P 189746-80-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (Diels-Alder reaction of 2-aminofurans as method for prep. anilines)  
 RN 189746-76-7 CAPLUS  
 CN Ethanone, 1-[5-hydroxy-2-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 189746-77-8 CAPLUS  
 CN Ethanone, 1-[5-hydroxy-2-(4-morpholinyl)-4-nitrophenyl]- (9CI) (CA  
 INDEX NAME)



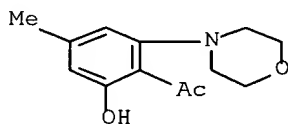
RN 189746-80-3 CAPLUS  
 CN Ethanone, 1-[3-hydroxy-6-(4-morpholinyl)-2-nitrophenyl]- (9CI) (CA  
 INDEX NAME)



L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1985:422242 CAPLUS  
 DN 103:22242  
 TI Pyran derivatives. 107. Preparation and reactions of  
 2-acetyl-3-amino-5-hydroxy-2-cyclohexenones; benzene derivatives from  
 pyrones  
 AU Eiden, Fritz; Patzelt, Gertrud  
 CS Inst. Pharm. Lebensmittelchem., Univ. Muenchen, Munich, 8000/2, Fed.  
 Rep.  
 Ger.  
 SO Archiv der Pharmazie (Weinheim, Germany) (1985), 318(4), 328-40  
 CODEN: ARPMAS; ISSN: 0365-6233  
 DT Journal  
 LA German  
 OS CASREACT 103:22242  
 GI

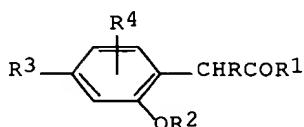
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Acetylpyrene I reacted with HNR22 [NR22 = NMe2, NEt2, NMeCH2CH2Ph,  
 piperidino, morpholino, perhydroazepine, 4-(2-pyridyl)-1-piperazinyl,  
 4-methyl-1-piperazinyl, 4-[3-(trifluoromethyl)phenyl]-1-piperazinyl,  
 1-piperazinyl] gave aminocyclohexenones II and III and aminophenols IV.  
 NH3 and 1,2-C6H4(NH2)2 gave pyridinones V (R = H, 2-H2NC6H4) or VI. The  
 amine group in II (R2 = Me) (VII) was replaced by reaction with NH3,  
 amines, amino acids, and hydrazine derivs. VII cyclized with  
 PhC(:NH)NH2  
 or H2NNHR1 (R1 = Ph, Me) to give quinazoline VIII or indazoles IX.  
 Treating II, III, the transamination analogs of VII, VIII, or IX with  
 KOH  
 in EtOH gave the corresponding phenol dehydration products.  
 IT **97066-10-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 97066-10-9 CAPLUS  
 CN Ethanone, 1-[2-hydroxy-4-methyl-6-(4-morpholinyl)phenyl]- (9CI) (CA  
 INDEX  
 NAME)

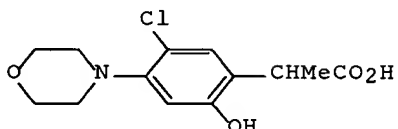


L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1983:575385 CAPLUS  
 DN 99:175385  
 TI Aminophenol acetic acid  
 IN Wenk, Paul; Breitenstein, Werner; Baumann, Marcus  
 PA Ciba-Geigy A.-G. , Switz.  
 SO Brit. UK Pat. Appl., 45 pp.  
 CODEN: BAXXDU  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2109373	A1	19830602	GB 1982-30352	19821025
	GB 2109373	B2	19860115		
	EP 82109	A2	19830622	EP 1982-810440	19821022
	EP 82109	A3	19850417		
	R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
	FI 8203641	A	19830429	FI 1982-3641	19821025
	ES 516843	A1	19850516	ES 1982-516843	19821026
	DK 8204760	A	19830429	DK 1982-4760	19821027
	NO 8203586	A	19830429	NO 1982-3586	19821027
	AU 8289824	A1	19830505	AU 1982-89824	19821027
	ZA 8207845	A	19830629	ZA 1982-7845	19821027
	HU 30695	O	19840328	HU 1982-3449	19821027
	JP 58150544	A2	19830907	JP 1982-191738	19821028
	DD 208798	A5	19840411	DD 1982-244347	19821028
	ES 529377	A1	19851101	ES 1984-529377	19840201
	ES 529378	A1	19851101	ES 1984-529378	19840201
	ES 529379	A1	19851101	ES 1984-529379	19840201
	ES 529380	A1	19851201	ES 1984-529380	19840201
	ES 529376	A1	19860601	ES 1984-529376	19840201
	ES 537285	A1	19850816	ES 1984-537285	19841031
PRAI	CH 1981-6883		19811028		
GI					



I



III

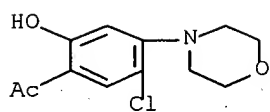
AB Phenylacetic acids I (R = H1, aliph.; R1 = OH, esterified OH, amino; R2 = H, acyl; R3 = amino; R4 = H, substituent) were prepd. as inflammation inhibitors, analgesics, and sunscreens (no data). Thus, treating imidazo[1,2-a]pyridin-2(3H)-one-HCl with maleic acid gave its 3-(1,2-diacarboxyethyl) deriv. which was treated with MeCOCH:CH<sub>2</sub> and hydrolyzed to give 4-methyl-3-(3-oxobutyl)maleic anhydride (II). II was treated with morpholinium benzoate to give 3-methyl-6-morpholinobenzofuran-2(3H)-one which was converted to its 5-chloro deriv. and hydrolyzed to III.

IT **87203-04-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and methylation of)

RN 87203-04-1 CAPLUS

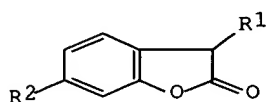
CN Ethanone, 1-[5-chloro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



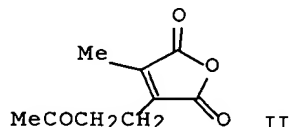


L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1983:539751 CAPLUS  
 DN 99:139751  
 TI Furans  
 IN Wenk, Paul; Breitenstein, Werner; Baumann, Marcus  
 PA Ciba-Geigy A.-G. , Switz.  
 SO Eur. Pat. Appl., 103 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 78241	A2	19830504	EP 1982-810439	19821022
	EP 78241	A3	19840328		
	R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
	US 4426380	A	19840117	US 1982-435595	19821021
	FI 8203640	A	19830429	FI 1982-3640	19821025
	GB 2110210	A1	19830615	GB 1982-30351	19821025
	GB 2110210	B2	19850703		
	ES 516842	A1	19840116	ES 1982-516842	19821026
	CA 1199635	A1	19860121	CA 1982-414197	19821026
	DK 8204759	A	19830429	DK 1982-4759	19821027
	NO 8203585	A	19830429	NO 1982-3585	19821027
	AU 8289823	A1	19830505	AU 1982-89823	19821027
	ZA 8207844	A	19830629	ZA 1982-7844	19821027
	DD 204699	A5	19831207	DD 1982-244314	19821027
	HU 29609	O	19840228	HU 1982-3447	19821027
	JP 58126882	A2	19830728	JP 1982-191737	19821028
	US 4451462	A	19840529	US 1983-542334	19831017
	ES 526890	A1	19851001	ES 1983-526890	19831028
	ES 526892	A1	19851001	ES 1983-526892	19831028
	ES 526891	A1	19860201	ES 1983-526891	19831028
PRAI	CH 1981-6882		19811028		
GI	US 1982-435595		19821021		



I



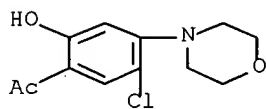
II

AB Benzofuranones I (R1 = H, aliph. group; R2 = amino disubstituted with hydrocarbonyl; benzo ring may be addnl. substituted) and their salts and(or) isomers, useful as inflammation inhibitors, analgesics, and sunscreens for skin (no data), were prepd. Imidazo[1,2-a]pyridin-2(3H)-one hydrochloride in aq. NaOH added to maleic acid to give 3-(1,2-dicarboxyethyl)imidazo[1,2-a]pyridin-2-(3H)-one. The HCl salt of this added to MeCOCH:CH2 and the product was decarboxylated and hydrolyzed to give maleic anhydride II. This reacted with morpholinium benzoate in refluxing C6H6 in 48 h with H2O sepn. to give I (R1 = Me, R2 = morpholino).

IT **87203-04-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT(Reactant or reagent) (prepn. and etherification of)

RN 87203-04-1 CAPLUS

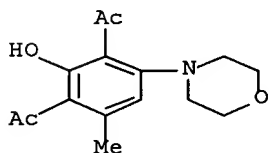
CN    Ethanone, 1-[5-chloro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI)    (CA  
INDEX NAME)



L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN  
AN 1981:568872 CAPLUS  
DN 95:168872  
TI Benzene derivatives from 4-pyrones: the reaction of 3,5-diacetyl- and  
3,5-bisethoxycarbonyl-4-pyrones with secondary amines  
AU Eiden, Fritz; Teupe, Ernst Guenther; Leister, Hans Peter  
CS Inst. Pharm. Lebensmittelchem., Univ. Muenchen, Munich, 8000/2, Fed.  
Rep.  
Ger.  
SO Archiv der Pharmazie (Weinheim, Germany) (1981), 314(4), 347-55  
CODEN: ARPMAS; ISSN: 0365-6233  
DT Journal  
LA German  
GI

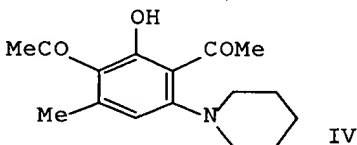
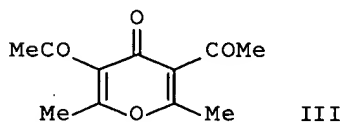
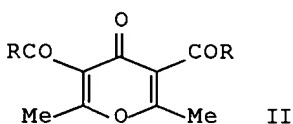
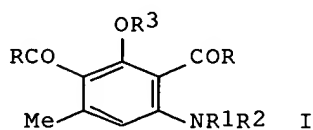
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The reactions of title pyrones I (R = EtO, Me) with cyclic R12NH (e.g.,  
piperidine, morpholine) gave phenols II and, in the case of I (R = Me)  
with piperazine, bisphenol III. II (R = Me, NR12 = piperidino) and III  
reacted with Me2NCH(OCHMe2)2 to give IV and V. The reaction of IV with  
hydrazines gave pyrazoles VI (R2 = Ph, Me). Hydrolysis of II (R = Me,  
NR12 = 4-cyano-4-phenylpiperidino) gave VII (R3 = CONH2, CO2Et).  
IT **77600-95-4P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 77600-95-4 CAPLUS  
CN Ethanone, 1,1'-[2-hydroxy-4-methyl-6-(4-morpholinyl)-1,3-phenylene]bis-  
(9CI) (CA INDEX NAME)

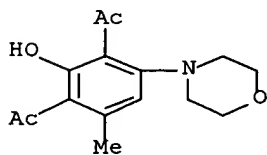


L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1981:191938 CAPLUS  
 DN 94:191938  
 TI 2-Hydroxy-4-methylbenzene compounds  
 IN Eiden, Fritz; Teupe, Ernst Guenther; Leister, Hans Peter; Mayer, Dieter  
 PA Thiemann, Dr., G.m.b.H. Chem.-Pharm. Fabrik, Fed. Rep. Ger.  
 SO Ger. Offen., 12 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

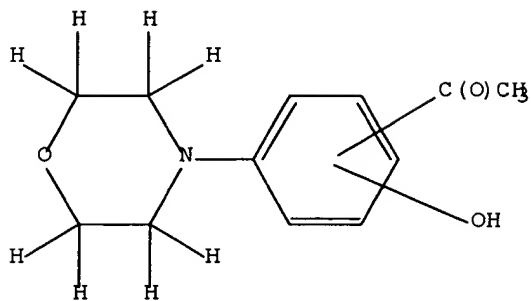
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2922488	A1	19801211	DE 1979-2922488	19790601
PRAI	DE 1979-2922488		19790601		
GI					



AB I [R = Me or C1-4 alkoxy; R<sub>1</sub> and R<sub>2</sub> were C1-4 alkyl or (R<sub>1</sub>R<sub>2</sub>N =) heterocyclcyl; R<sub>3</sub> = H, Me, or Et] were prepd. by reaction of II with secondary amines. Thus 2 g III and 950 mg piperidine were heated 1.5 h at 100.degree. to give 84% IV.  
 IT **77600-95-4P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 77600-95-4 CAPLUS  
 CN Ethanone, 1,1'-[2-hydroxy-4-methyl-6-(4-morpholinyl)-1,3-phenylene]bis- (9CI) (CA INDEX NAME)



=> d l1; d his; log y  
 L1 HAS NO ANSWERS  
 L1 STR



G1 C,O,S,N,P  
 G2 H,O,S,N,X

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 20:02:10 ON 28 OCT 2003)

FILE 'REGISTRY' ENTERED AT 20:02:32 ON 28 OCT 2003

L1 STRUCTURE UPLOADED  
 L2 0 S L1  
 L3 14 S L1 FUL

FILE 'CAPLUS' ENTERED AT 20:02:54 ON 28 OCT 2003

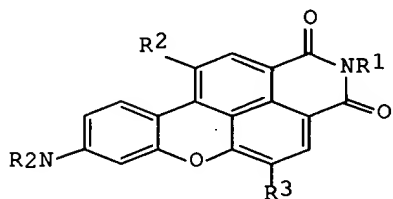
L4 7 S L3

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	32.17	180.53
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-4.56	-4.56

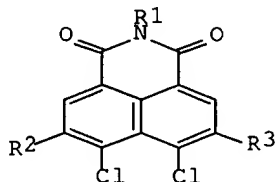
STN INTERNATIONAL LOGOFF AT 20:03:29 ON 28 OCT 2003

L18 ANSWER 200 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1976:407278 CAPLUS  
 DN 85:7278  
 TI Benzoxanthene derivatives  
 IN Imahori, Seiichi; Murata, Yukichi; Maeda, Shuichi; Suzuki, Sumio  
 PA Mitsubishi Chemical Industries Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 51016335	A2	19760209	JP 1974-87836	19740731
PRAI	JP 1974-87836		19740731		
GI					

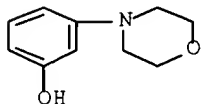


I



II

AB Benzoxanthenes I [R = Me, Et, CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Et or (NR<sub>2</sub>) = morpholino; R<sub>1</sub> = Me, (CH<sub>2</sub>)<sub>3</sub>OMe; R<sub>2</sub> and(or) R<sub>3</sub> = NO<sub>2</sub>] are prepd. by condensation of dichloronaphthalimides II with m-R<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>OH. Thus, II (R<sub>1</sub> = Me, R<sub>2</sub> = R<sub>3</sub> = NO<sub>2</sub>) [59344-54-6] and m-Et<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>OH [91-68-9] were condensed 5 hr in refluxing pyridine, dild. with MeOH and filtered to give (R = Et, R<sub>1</sub> = Me, R<sub>2</sub> = R<sub>3</sub> = NO<sub>2</sub>) [59344-55-7] as red crystals, fluorescing orange-red in C<sub>6</sub>H<sub>6</sub> soln. and dyeing polyester fibers bright red. Similarly prepd. were 4 addnl. I giving orange to scarlet shades on polyester fibers.  
 IT **27292-49-5**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with dichloro(methoxypropyl)dinitronaphthalimide)  
 RN 27292-49-5 CAPLUS  
 CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 201 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1976:137212 CAPLUS  
 DN 84:137212  
 TI Thiazole azo dyes  
 IN Hohmann, Knut; Mohr, Reinhard; Haehnke, Manfred  
 PA Hoechst A.-G., Fed. Rep. Ger.  
 SO Ger. Offen., 25 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2433229	A1	19760129	DE 1974-2433229	19740711
	DE 2433229	C3	19790607		
	DE 2433229	B2	19781005		
	NL 7508015	A	19760113	NL 1975-8015	19750704
	US 4046752	A	19770906	US 1975-594111	19750708
	CH 629519	A	19820430	CH 1975-8901	19750708
	DD 120038	C	19760520	DD 1975-187203	19750709
	IN 141858	A	19770423	IN 1975-CA1340	19750709
	GB 1498744	A	19780125	GB 1975-28870	19750709
	FR 2277832	A1	19760206	FR 1975-21652	19750710
	FR 2277832	B1	19781103		
	JP 51031725	A2	19760318	JP 1975-84028	19750710
	JP 58045468	B4	19831011		
	BR 7504360	A	19760706	BR 1975-4360	19750710
	CA 1059120	A1	19790724	CA 1975-231251	19750710
	BE 831309	A1	19760112	BE 1975-158247	19750711

PRAI DE 1974-2433229 19740711

GI For diagram(s), see printed CA Issue.

AB 2-Aminothiazole (II) [96-50-4] or its derivs. were prep'd. by the condensation of H<sub>2</sub>NCSNH<sub>2</sub> [62-56-6] with ClCH<sub>2</sub>CHO [107-20-0] or its derivs.

and used without isolation as either the diazo or coupling component in the manuf. of thiazole group-contg. cationic and disperse azo dyes.

Thus,

a H<sub>2</sub>O soln. of ClCH<sub>2</sub>CHO was added to a suspension of H<sub>2</sub>NCSNH<sub>2</sub> in HOAc, the

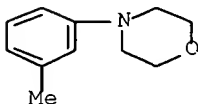
soln. was stirred for 2 hr at 40-50.degree., cooled to 0.degree., the nonisolated I diazotized with nitrosylsulfuric acid, and coupled with N-(3-methylphenyl)morpholine [7025-91-4] to give II [58709-28-7].

IT 7025-91-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (coupling of, with diazotized aminothiazole)

RN 7025-91-4 CAPLUS

CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 202 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1976:73888 CAPLUS  
 DN 84:73888  
 TI 3-Aminophenols  
 IN Mueller, Werner  
 PA Hoechst A.-G., Fed. Rep. Ger.  
 SO Ger. Offen., 18 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2402695	A1	19751211	DE 1974-2402695	19740121
	DE 2402695	B2	19790823		
	DE 2402695	C3	19800529		
	ZA 7500189	A	19760128	ZA 1975-189	19750110
	NL 7500523	A	19750723	NL 1975-523	19750116
	CH 609315	A	19790228	CH 1975-509	19750116
	GB 1481573	A	19770803	GB 1975-2114	19750117
	JP 50101331	A2	19750811	JP 1975-7913	19750120
	JP 59027329	B4	19840705		
	CA 1064054	A1	19791009	CA 1975-218250	19750120
	BE 824612	A1	19750722	BE 1975-152567	19750121
	FR 2258370	A1	19750818	FR 1975-1758	19750121
	BR 7500406	A	19751104	BR 1975-406	19750121
	US 4212823	A	19800715	US 1976-707016	19760720

PRAI DE 1974-2402695 19740121  
 US 1975-542423 19750120

AB 3-Aminophenols were prepd. by the dehydrogenation of 3-amino-2-cyclohexen-1-ones. Thus, 3-amino-2-cyclohex-1-one (I) was heated to 193-6.degree. in

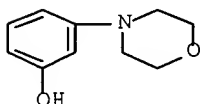
(EtOCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>)<sub>2</sub> soln. in the presence of Pd-C catalyst to give 95% 3-HOC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>, I was prepd. by the reaction of 1,3-cyclohexanedione with NH<sub>3</sub>.

IT **27292-49-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

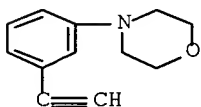
RN 27292-49-5 CAPLUS

CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)

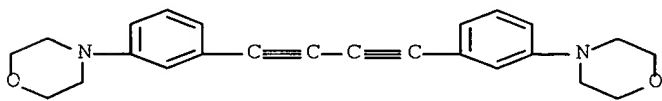




L18 ANSWER 203 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1976:73786 CAPLUS  
 DN 84:73786  
 TI Synthesis of N,N-dialkylaminophenylacetylenes  
 AU Terpugova, M. P.; Kotlyarevskii, I. L.; Amosov, Yu. I.; Myasnikova, R.  
 N.  
 CS Inst. Khim. Kinet. Gorennya, Novosibirsk, USSR  
 SO Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1975), (12), 2808-11  
 CODEN: IASKA6; ISSN: 0002-3353  
 DT Journal  
 LA Russian  
 AB Mixts. of m- and p-RNR1C6H4C.tplbond.CH (R = R1 = Et, Bu; RNR1 =  
 morpholino, piperidino) were prepd. in 70-94.5% yield by reaction of  
 p-BrC6H4C.tplbond.CH with RNR1H in the presence of NaNH2. Oxidative  
 dimerization of p-RNR1C6H4C.tplbond.CH gave 75-97.5% (p-  
 RNR1C6H4C.tplbond.C)2.  
 IT **41876-71-5P 58300-73-5P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 41876-71-5 CAPLUS  
 CN Morpholine, 4-(3-ethynylphenyl)- (9CI) (CA INDEX NAME)



RN 58300-73-5 CAPLUS  
 CN Morpholine, 4,4'-(1,3-butadiyne-1,4-diyl-di-3,1-phenylene)bis- (9CI) (CA  
 INDEX NAME)



L18 ANSWER 204 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1975:606270 CAPLUS  
 DN 83:206270  
 TI Imidazo[4,5-b]pyridines  
 IN Kutter, Eberhard; Austel, Volkard; Diederer, Willi  
 PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.  
 SO Ger. Offen., 36 pp. Addn. to Ger. Offen. 2,305,339.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2361757	A1	19750626	DE 1973-2361757	19731212
	FI 58126	B	19800829	FI 1973-3989	19731227
	FI 58126	C	19801210		
	AT 7400164	A	19760215	AT 1974-164	19740110
	AT 332873	B	19761025		
	ES 422450	A1	19760501	ES 1974-422450	19740119
	CS 200169	P	19800829	CS 1974-443	19740123
	SU 563917	D	19770630	SU 1974-1990335	19740129
	NL 7401254	A	19740806	NL 1974-1254	19740130
	NL 173645	B	19830916		
	NL 173645	C	19840216		
	CH 605939	A	19781013	CH 1974-1363	19740131
	RO 79057	P	19820625	RO 1974-77478	19740131
	RO 84276	P	19840523	RO 1974-106042	19740131
	FR 2215968	A1	19740830	FR 1974-3491	19740201
	JP 49102693	A2	19740927	JP 1974-13568	19740201
	JP 57048556	B4	19821016		
	DD 108989	C	19741012	DD 1974-176324	19740201
	AU 7465129	A1	19750807	AU 1974-65129	19740201
	GB 1445824	A	19760811	GB 1974-4808	19740201
	HU 170909	P	19770928	HU 1974-TO951	19740201
	CA 1041502	A1	19781031	CA 1974-191585	19740201
	NO 139386	C	19790228	NO 1974-327	19740201
	NO 139386	B	19781120		
	DK 140760	B	19791112	DK 1974-563	19740201
	DK 140760	C	19800421		
	SE 411451	B	19791227	SE 1974-1393	19740201
	SE 411451	C	19800417		
	PL 93127	P	19770530	PL 1974-168533	19740202
	US 3985891	A	19761012	US 1975-606886	19750822
	SU 634673	D	19781125	SU 1975-2170503	19750827
PRAI	DE 1973-2305339		19730203		
	DE 1973-2361757		19731212		
	US 1974-439362		19740204		

GI For diagram(s), see printed CA Issue.

AB Imidazopyridines I and II (R = substituted phenyl, R1 = aminoalkyl) (67 compds.) which affect blood pressure, have a positive inotropic effect, inhibit ulceration blood platelet aggregation, and prolong bleeding time (no data) were prepd. Thus, 2,6-(MeO)2C6H3CO2H was condensed with 2,3-pyridinediamine to give I [R = 2,6-(MeO)2C6H3].

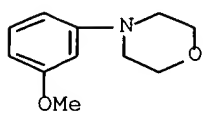
IT 32040-09-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(condensation of, with methylmercaptodithiolanium methyl sulfate)

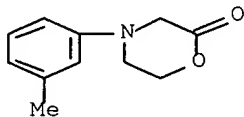
RN 32040-09-8 CAPLUS

CN Morpholine, 4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 205 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
AN 1975:531305 CAPLUS  
DN 83:131305  
TI Arylamines  
IN Kubba, Ved P.; Jenny, Walter  
PA CIBA of India Ltd., India  
SO Indian, 43 pp. Division of Indian 130,211  
CODEN: INXXAP  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	IN 135540		19740817	IN 1971-135540	19710208
GI	For diagram(s), see printed CA Issue.				
AB	M-toluidine was condensed with ClCH <sub>2</sub> CO <sub>2</sub> H; N-(carboxymethyl)toluidine obtained was treated with ClCH <sub>2</sub> CH <sub>2</sub> OH in 2 N NaOH, and the resulting 4-m-tolyl-2-oxomorpholine heated with Et <sub>2</sub> NH in xylene at 150.degree. for 12 hr to give the amine I.				
IT	<b>20127-72-4P</b>				
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);				
RACT	(Reactant or reagent) (prepn. and ring cleavage of, with diethylamine)				
RN	20127-72-4 CAPLUS				
CN	2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)				

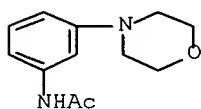


L18 ANSWER 206 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1975:429850 CAPLUS  
 DN 83:29850  
 TI Azo disperse dyes  
 IN Akai, Takamasa; Nakagaki, Hiroshi  
 PA Kobe Chemical Industry Co., Ltd.  
 SO Jpn. Kokai Tokkyo Koho, 3 pp.  
 CODEN: JKXXAF

DT Patent  
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 50002727	A2	19750113	JP 1973-52331	19730510
PRAI	JP 1973-52331		19730510		
GI	For diagram(s), see printed CA Issue.				
AB	Disperse azo dyes are prepd. by diazo coupling of 1-acylamino-3-morpholinobenzenes with aniline derivs. Thus, 4-O <sub>2</sub> NC <sub>6</sub> H <sub>4</sub> NH <sub>2</sub> [100-01-6] was				
	diazotized and coupled with 1-(acetylamino)-3-morpholinobenzene [41605-91-8] to give disperse azo dye I (R = Me, R <sub>1</sub> = R <sub>3</sub> = H, R <sub>2</sub> = NO <sub>2</sub> ) [55524-80-6], yellowish red on polyester fibers. The following I were similarly prepd. (R-R <sub>3</sub> and shade on polyester fibers given): Me,				
Br,	NO <sub>2</sub> , NO <sub>2</sub> , bluish violet; Et, H, NO <sub>2</sub> , H, yellowish red; Me, H, MeSO <sub>2</sub> , H, yellow-orange; Me, Cl, NO <sub>2</sub> , H, bluish violet; Me, CN, NO <sub>2</sub> , H, reddish violet.				
IT	41605-91-8				
	RL: RCT (Reactant); RACT (Reactant or reagent) (coupling of, with diazotized nitroaniline)				
RN	41605-91-8 CAPLUS				
CN	Acetamide, N-[3-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)				



L18 ANSWER 207 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1975:155802 CAPLUS  
 DN 82:155802  
 TI Benzophenone derivatives  
 IN Yahagi, Masakichi; Toyama, Takafumi; Igaki, Tetsuo  
 PA Nisso Chemical Industries, Ltd.  
 SO Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF

DT Patent  
 LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 49133367	A2	19741221	JP 1973-47349	19730428
	JP 52010871	B4	19770326		
PRAI	JP 1973-47349		19730428		

GI For diagram(s), see printed CA Issue.

AB Benzophenone derivs. (I; R = piperidino, pyrrolidino, morpholino) were  
 prepd. by reacting m-RC6H4OH with phthalic anhydride (II). Thus, a  
 mixt.

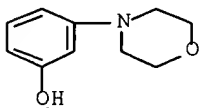
of 18 g m-pyrrolidinophenol and 15 g II in PhMe was stirred 4 hr at  
 110.degree. to give 21 g I (R = pyrrolidino).

IT **27292-49-5**

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with phthalic anhydride)

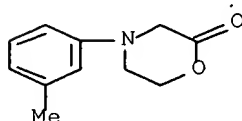
RN 27292-49-5 CAPLUS

CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)

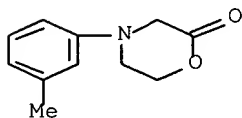


L18 ANSWER 208 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1975:45033 CAPLUS  
 DN 82:45033  
 TI Azo dyes  
 IN Kubba, Ved P.; Jenny, Walter  
 PA CIBA of India Ltd.  
 SO Indian, 43 pp.  
 CODEN: INXXAP  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IN 130211		19740720	IN 1971-130211	19710208
GI	For diagram(s), see printed CA Issue.				
AB	Two hundred azo dyes (I, R = aryl or substituted aryl; R1 = H, Me, Ph, PhCH2, MeO, PhO, Cl, Br, MeS; R2 = H, Me, OMe, OEt, OPh; R3 = OH, OAc, OBz, PrCO2, ClCH2CO2, CH2:CHCO2, p-MeOC6H4CO2, p-MeC6H4CO2; o-ClC6H4CO2, BuNHCO2, PhNHCO2, p-ClC6H4NHCO2, cyclohexylcarbamoyloxy, furyl- or thienylcarbonyloxy, BuOCO2; R4 = H, Me, Et, Pr, Ph, PhCH2, AcOCH2CH2, NCCH2CH2, p-ClC6H4, m-MeC6H4, o-MeOC6H4, MeOCH2CH2, naphthyl; R5 = H, Me, Et, Ph, AcOCH2CH2, MeOCH2CH2, p-ClC6H4, p-MeC6H4, thiazolyl, pyridyl) were prepd. and dyed polyester, polyamide, polyolefin, acrylic, wool, and silk fibers and leather fast shades. Thus, m-MeC6H4NH2 [108-44-1] condensed with ClCH2CO2H [79-11-8] gave N-(carboxymethyl)-m-toluidine [21911-67-1], and reaction with ClCH2CH2OH [107-07-3] and NaOH gave 4-(m-tolyl)-2-oxomorpholine (II) [20127-72-4]. II was treated with MeNH2 [74-89-5] in dry xylene to give m-MeC6H4N(CH2CH2OH)CH2CONHMe [32724-40-6] which was coupled with diazotized 2,4-Cl(O2N)C6H3NH2 [121-87-9] to give azo dye I (R = 2,4-Cl(O2N)C6H3; R1 = Me; R2 = R4 = H, R3 = OH, R5 = Me) [31676-25-2], red on polyester fibers.				
IT	<b>20127-72-4P</b>				
RL:	RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);				
RACT	(Reactant or reagent) (prepn. and reaction of, with methylamine)				
RN	20127-72-4 CAPLUS				
CN	2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)				

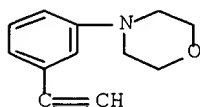


L18 ANSWER 209 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
AN 1974:116599 CAPLUS  
DN 80:116599  
TI Derivatives of acrylic acids and aromatic amines as potential  
bactericides  
AU Isamukhamedov, I.; Sultanov, M. B.  
CS USSR  
SO Farmakol. Alkaloidov Ikh Proizvod. (1972), 190-1. Editor(s): Sultanov,  
M.  
B. Publisher: "Fan", Tashkent, USSR.  
CODEN: 27NBAD  
DT Conference  
LA Russian  
AB .beta.,.beta.-Dimethylacrylic acid [541-47-9], dimethylacrylic acid  
3,4-dichloroanilide [21250-38-4], or .beta.-hydroxyethyl-N-  
diethylaminoacetanilide [51366-14-4] had bacteriostatic effects against  
Staphylococcus aureus and Bacterium coli commune. The bacteriostatic  
activity of 17 other derivs. of acrylates and aromatic amines was weak.  
IT 20127-72-4  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological  
study, unclassified); BIOL (Biological study)  
(bactericidal activity of)  
RN 20127-72-4 CAPLUS  
CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)

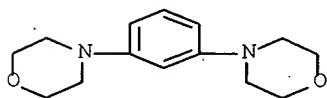




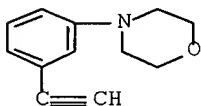
L18 ANSWER 210 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1974:36770 CAPLUS  
 DN 80:36770  
 TI New reactions of aromatic acetylenes  
 AU Terpugova, M. P.; Kotlyarevskii, I. L.; Amosov, Yu. I.  
 CS Inst. Khim. Kinet. Goren., Novosibirsk, USSR  
 SO Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1973), (10), 2397  
 CODEN: IASKA6; ISSN: 0002-3353  
 DT Journal  
 LA Russian  
 AB Reaction of p-BrC<sub>6</sub>H<sub>4</sub>C.tplbond.CH with piperidine or morpholine in the  
 presence of NaNH<sub>2</sub> in THF gave not only the expected product from  
 replacement of Br by the amine residue but also rupturing the bond  
 between  
 the ring and ethynyl group led to diamines. Compds. isolated were m-  
 and  
 p-(N-piperidinyl)ethynylbenzene and m- and p-di(N-piperidinyl)benzene.  
 Similarly formed were m- and p-(N-morpholinyl)ethynylbenzene and m- and  
 p-di(N-morpholinyl)benzene.  
 IT **41876-71-5P 51100-94-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 41876-71-5 CAPLUS  
 CN Morpholine, 4-(3-ethynylphenyl)- (9CI) (CA INDEX NAME)



RN 51100-94-8 CAPLUS  
 CN Morpholine, 4,4'-(1,3-phenylene)bis- (9CI) (CA INDEX NAME)



L18 ANSWER 211 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1973:418247 CAPLUS  
 DN 79:18247  
 TI [(Dialkylamino)phenyl]acetylenes  
 AU Terpugova, M. P.; Kotlyarevskii, I. L.  
 CS USSR  
 SO Dokl. Vses. Konf. Khim. Atsetilena, 4th (1972), Volume 1, 379-85  
 From: Ref. Zh., Khim. 1973, Abstr. No. 3Zh198  
 DT Conference  
 LA Russian  
 AB p-BrC6H4COMe and PCl5 gave a mixt. of p-BrC6H4CCl2Me and p-  
 BrC6H4CCl:CH2,  
 which with 25% alc. KOH gave p-BrC6H4C.tplbond.CH. This with the  
 appropriate amine and excess NaNH2 at 40-50.degree. gave a mixt. of m-  
 and  
 p-ZC6H4C.tplbond.CH (I) (Z and % yield given): piperidino, 66; Bu2N,  
 54;  
 Et2N, 60; and morpholino, 60. The p-morpholino compd. was subjected to  
 Mannich condensation with a series of secondary diamines, and to  
 Khodkevich-Kadio reaction with 1-bromo-3-morpholino-1-propyne and  
 1-bromo-3-piperidino-1-propyne. Polymn. of I at 170-200.degree. and  
 copolymn. of I with p-Et2C6H4 at 200.degree. were carried out.  
 IT **41876-71-5P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 41876-71-5 CAPLUS  
 CN Morpholine, 4-(3-ethynylphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 212 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1973:406760 CAPLUS  
 DN 79:6760  
 TI Azo disperse dyes for polyester fibers  
 IN Arsac, Aime; Von Arx, Pierre; Tosan, Roland  
 PA Uguine Kuhlmann  
 SO Fr. Demande, 11 pp.  
 CODEN: FRXXBL  
 DT Patent  
 LA French  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2131794	A5	19721117	FR 1971-6657	19710226
	FR 2131794	B1	19740322		
PRAI	FR 1971-6657		19710226		

AB Azo dyes (I, R = 3-nitro-5-acetyl-2-thienyl, R1 = MeO; R = 2,4-dimethylpyrazol-3-yl, R1 = MeO; R = 6-(dimethylsulfamoyl)-2-benzothiazolyl, R1 = H) were prepd. and were used to dye polyester fiber fast shades. Thus, a mixt. of 2,5-MeO(AcNH)C6H3NH2, CaCO3, and (ClCH2CH2)2O was heated at 160.deg. for 3 hr, cooled, and treated with Ac2O to give N-(2-methoxy-5-acetamidophenyl)morpholine [40220-00-6]

which

was coupled with diazotized 2-amino-3-nitro-5-acetylthiophene to give azo

dye I (R = 3-nitro-5-acetyl-2-thienyl, R1 = MeO) [40220-01-7], fast bluish

green on polyester.

IT 41605-91-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

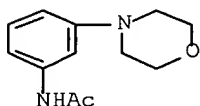
RACT

(Reactant or reagent)

(prepn. and reaction with diazotized amines)

RN 41605-91-8 CAPLUS

CN Acetamide, N-[3-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



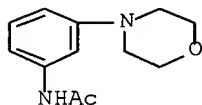
L18 ANSWER 213 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1973:137933 CAPLUS  
 DN 78:137933  
 TI Desperse azo dyes  
 IN Arsac, Aime; Von Arx, Pierre; Tosan, Roland  
 PA Uguine Kuhlmann  
 SO Fr. Demande, 12 pp.  
 CODEN: FRXXBL  
 DT Patent  
 LA French  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2126120	A5	19721006	FR 1971-6656	19710226
	FR 2126120	B1	19740322		
PRAI	FR 1971-6656		19710226		

AB Azo dyes (I, R = Cl, Br, Cn; R1 = H, NO2; R2 = Cl, AcNH, Me; R3 = H, MeO)  
 were prep'd. and were used to dye polyester fibers fast red to bluish green shades. Thus, 2,4-Cl(O2N)C6H3NH2 was diazotized and coupled with N-(m-chlorophenyl)morpholine to give azo dye (I, R = Cl, R1 = R3 = H, R2 = Cl) [39716-82-0], fast orange on polyester. The other I were similarly prep'd.

IT **41605-91-8P**  
 RL: IMF (Industrial manufacture); PREP (Preparation)  
 (prepn. of)

RN 41605-91-8 CAPLUS  
 CN Acetamide, N-[3-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



L18 ANSWER 214 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1973:91082 CAPLUS  
 DN 78:91082  
 TI Hectographic carbon paper  
 IN Evans, Ronald Arthur; Holt, Kenneth Anthony; Renfrew, Andrew H. M.  
 PA Imperial Chemical Industries Ltd.  
 SO Ger. Offen., 28 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 2

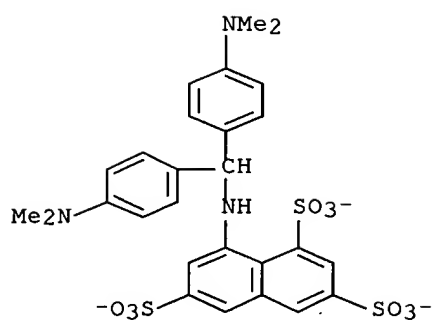
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2229032	A	19721221	DE 1972-2229032	19720614
	DE 2229032	B2	19770811		
	ZA 7203843	A	19730530	ZA 1972-3843	19720606
	ZA 7203842	A	19730530	ZA 1972-3842	19720606
	FR 2142413	A5	19730126	FR 1972-21236	19720613
	DD 100909	C	19731012	DD 1972-163668	19720613
	CS 156545	P	19740724	CS 1972-4133	19720613
	CH 577389	A	19760715	CH 1972-8797	19720613
	BE 784876	A1	19721214	BE 1972-118694	19720614
	NL 7208106	A	19721218	NL 1972-8106	19720614
	NL 7208129	A	19721218	NL 1972-8129	19720614
	IT 960689	A	19731130	IT 1972-25652	19720614
	IT 972151	A	19740520	IT 1972-25651	19720614
	AT 318659	B	19741111	AT 1972-5092	19720614
	ES 403844	A1	19750501	ES 1972-403844	19720614
PRAI	GB 1971-27765		19710614		

AB The 15-25 (8-50) g/m2 waxy coatings on a polyester film or fibrous web support with 6 g/m2 poly(vinyl chloride) or other barrier coating contain 40-60 (15-80) % of a leucoauramine dye combination. Writing pressure produces in contact with a matrix a reversed, almost invisible, latent image on the latter by leuco dye transfer. Copies are produced by contact with an alc.-moistened, acid-coated paper. Such a leuco dye combination ppts. from an aq. alc. soln. of 24.2 parts bis(4-dimethylaminophenyl)methane-.alpha.-anilino-m-trimethylammonium bromide and 22.4 parts Na p-sulfophenylleucoauramine during standing for several days. It is coated with a poly(vinyl alc.)-poly(vinyl chloride) copolymer and mineral oil on a polyester film support.

IT **41479-76-9**  
 RL: USES (Uses)  
 (image transfer sheets contg., for hectographic copying process)  
 RN 41479-76-9 CAPLUS  
 CN Morpholinium, 4-[3-[[bis[4-(dimethylamino)-2-methoxyphenyl]methyl]amino]phenyl]-4-methyl-, salt with 8-[[bis[4-(dimethylamino)phenyl]methyl]amino]-1,3,6-naphthalenetrisulfonic acid (3:1) (9CI) (CA INDEX NAME)

CM 1

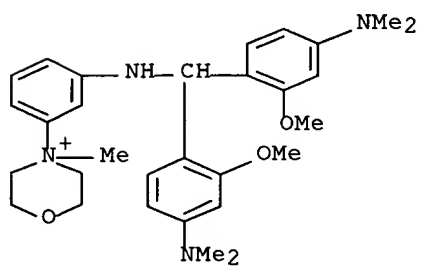
CRN 48238-50-2  
 CMF C27 H26 N3 O9 S3



CM 2

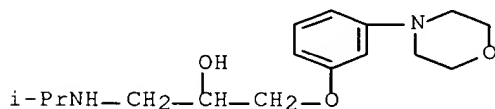
CRN 48234-11-3

CMF C30 H41 N4 O3



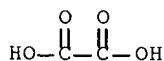
L18 ANSWER 215 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1972:540095 CAPLUS  
 DN 77:140095  
 TI 1-(Morpholinophenoxy)-3-(alkylamino)-2-propanols  
 IN Stuart, Ronald Stiles; Wasson, Burton Kendall  
 PA Frosst, Charles E., and Co.  
 SO U.S., 6 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3686176	A	19720822	US 1970-17858	19700309
PRAI	US 1970-17858		19700309		
GI	For diagram(s), see printed CA Issue.				
AB	The title pro-panols (I, 2-, 3-, 4-morpholino, R = H, Me, R1 = H, Ac, Bz, R2 = Me2CH, Me3C, Bu) and their acid salts, .beta.-adrenergic block-ing agents, were prepd. either by cleavage of an epoxide by amine or by amination of the halopropanol. Thus, 35.8 g 2-morpho-linophenol was heated with 55.88 g epichlorohydrin and piperi-dine to give the halopropanol, which was cyclized by NaOH to give 21.6 g 4-[o-(2,3-epoxypropoxy)phenyl morpholine (III). III (4.7 g) was treated with 6.2 ml Me2CHNH2 in MeOH to give I (2-morpholino, R = R2 = H, R3 = Me2CH).				
IT	<b>37033-90-2P</b>				
	RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	37033-90-2 CAPLUS				
CN	2-Propanol, 1-[(1-methylethyl)amino]-3-[3-(4-morpholinyl)phenoxy]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)				
CM	1				
CRN	47160-32-7				
CMF	C16 H26 N2 O3				

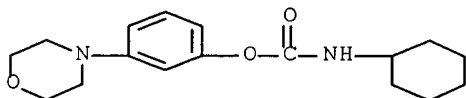


CM 2

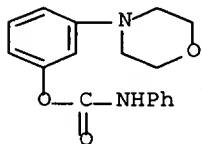
CRN 144-62-7  
 CMF C2 H2 O4



L18 ANSWER 216 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1972:461917 CAPLUS  
 DN 77:61917  
 TI Aminobenzenes. VIII. Rearrangement of phenyl carbamates. Syntheses of  
 2,4-dioxo-3,4-dihydro-2H-1,3-benzoxazines and salicylamides  
 AU Effenberger, Franz; Niess, Rolf; Schick, Max  
 CS Inst. Org. Chem., Univ. Stuttgart, Stuttgart, Fed. Rep. Ger.  
 SO Chemische Berichte (1972), 105(6), 1926-42  
 CODEN: CHBEAM; ISSN: 0009-2940  
 DT Journal  
 LA German  
 GI For diagram(s), see printed CA Issue.  
 AB Thermal rearrangement of N-aryl-substituted m-RC<sub>6</sub>H<sub>4</sub>O<sub>2</sub>CNHR<sub>1</sub> (I, R =  
 pyrrolidinyl, piperidino, or Me<sub>2</sub>N; R<sub>1</sub> = Ph, Bz, or p-ClC<sub>6</sub>H<sub>4</sub>CO) obtained  
 from m-RC<sub>6</sub>H<sub>4</sub>OH and R<sub>1</sub>NCO gave 4,2-R(HO)C<sub>6</sub>H<sub>3</sub>-CONHR<sub>1</sub> (II), whereas  
 N-alkoxy-substituted I gave 2,4-dioxo-3,4-dihydro-2H-1,3-benzoxazines  
 (III). III were cleaved by dil. KOH with CO<sub>2</sub> evolution to give II (R<sub>1</sub> =  
 H). The mechanism of this Fries rearrangement-like reaction involving  
 an intramol. path is discussed.  
 IT 37895-02-6P 37895-05-9P 37895-11-7P  
 37895-19-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 37895-02-6 CAPLUS  
 CN Carbamic acid, cyclohexyl-, 3-(4-morpholinyl)phenyl ester (9CI) (CA  
 INDEX  
 NAME)

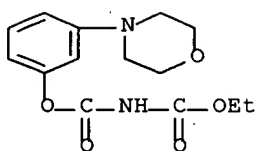


RN 37895-05-9 CAPLUS  
 CN Phenol, 3-(4-morpholinyl)-, phenylcarbamate (ester) (9CI) (CA INDEX  
 NAME)



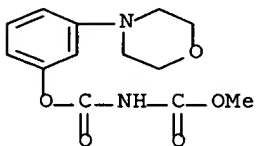
RN 37895-11-7 CAPLUS  
 CN Imidodicarbonic acid, ethyl 3-(4-morpholinyl)phenyl ester (9CI) (CA  
 INDEX  
 NAME)



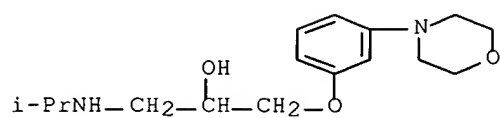


RN 37895-19-5 CAPLUS

CN Imidodicarbonic acid, methyl 3-(4-morpholinyl)phenyl ester (9CI) (CA  
INDEX NAME)



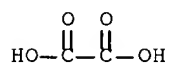
L18 ANSWER 217 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1972:456410 CAPLUS  
 DN 77:56410  
 TI .beta.-Adrenergic blocking agents. 3-(3-Substituted-amino-2-hydroxypropoxy)-4-substituted-1,2,5-thiadiazoles  
 AU Wasson, B. K.; Gibson, W. K.; Stuart, R. S.; Williams, H. W. R.; Yates, C.  
 H.  
 CS Merck, Frosst Lab., Montreal, QC, Can.  
 SO Journal of Medicinal Chemistry (1972), 15(6), 651-5  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 AB A no. of 3-(3-substitute-amino-2-hydroxypropoxy)-4-substituted-1,2,5-thiadiazoles were prepd. by 3 general procedures: (a) by condensation of the appropriate 3-hydroxy-4-substituted-1,2,5-thiadiazole with epichlorohydrin, then formation of the epoxide, and condensation with an amine, (b) by treatment of 4-chloro-3-(3-substituted-amino-2-hydroxypropoxy)-1,2,5-thiadiazole with a heterocyclic compd. contg. a secondary N, or (c) by formation of a bromohydrin of a 3-substituted-4-allyloxy-1,2,5-thiadiazole, followed by treatment with an amine. A no. of I contg. 4-Cl, 4-Et, or 4-EtO such as (+)-3-(3-tert-butylamino-2-hydroxypropoxy)-4-chloro-1,2,5-thiadiazole-HCl  
 HCl  
 2- [(+)-I, R = Cl, R1 = H, R2 = tert-Bu] [26791-14-0], 3-(3-isopropylamino-2-hydroxypropoxy)-4-ethyl-1,2,5-thiadiazole-HCl (I, R = Et, R1 = H, R2 = iso-Pr) [26670-38-2] and 3-(3-isopropylamino-2-hydroxypropoxy)-4-ethoxy-1,2,5-thiadiazole-HCl (I, R = EtO, R1 = H, R2 = iso-Pr) [26852-60-8] were potent .beta.-adrenergic blocking agents but short acting (ED50 0.023, 0.04 and 0.035 mg/kg i.v., resp., dose required to inhibit by 50% the cardioaccelerator response to 0.12 mg/kg i.v. isoproterenol in the ganglion-blocked anesthetized rat). A no. of 1,2,5-thiadiazoles possessing a bulky group in the 4-position were long acting, the outstanding member being (+)-3-(3-tert-butylamino-2-hydroxypropoxy)-4-morpholino-1,2,5-thiadiazole-HCl [(+)-II -HCl] [35507-66-5] with an ED50 of 0.013. Resolution of (+)-II showed the bulk of activity resided in the (+)-form, (+)-3-(3-tert-butylamino-2-hydroxypropoxy)-4-morpholino-1,2,5-thiadiazole hydrogen maleate [(+)-II H maleate] [26839-77-0] the ED50 being 0.0066. The optimal activity in the thiadiazoles was achieved by the presence of an iso-Pr or tert-Bu group in the aminoisopropanoxy side chain and inclusion of a bulky substituent in the 4 position.  
 IT **37033-90-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 37033-90-2 CAPLUS  
 CN 2-Propanol, 1-[(1-methylethyl)amino]-3-[3-(4-morpholinyl)phenoxy]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 47160-32-7  
 CMF C16 H26 N2 O3



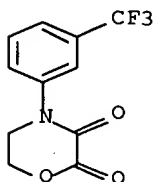
CM 2

CRN 144-62-7

CMF C2 H2 O4



L18 ANSWER 218 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1972:46126 CAPLUS  
 DN 76:46126  
 TI Synthesis and some transformations of N-.beta.-hydroxyethyl-m-trifluoromethylaniline  
 AU Tulyaganov, S. R.; Seitkasymov, Zh.  
 CS Inst. Khim. Rast. Veshch., Tashkent, USSR  
 SO Zhurnal Organicheskoi Khimii (1971), 7(11), 2342-4  
 CODEN: ZORKAE; ISSN: 0514-7492  
 DT Journal  
 LA Russian  
 AB RNH2 (R=m-CF3C6H4) reacted with ClCH2CH2OH to give the corresponding RNHCH2CH2OH (I) and RN(CH2CH2OH)2; I gave RNR1CH2CH2OR1 (R1=HCO Ac, EtCO, PrCO) in .gtoreq.83.7% yield with R1OH. Cyclization of I with HCHO, MeCHO, n-C7H15CHO, PhCHO, p-MeOC6H4CHO afforded the corresponding 2-substituted-3-(m-trifluoromethylphenyl)oxazolidines in 71.8-86.9% yield.  
 IT **34889-58-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 34889-58-2 CAPLUS  
 CN 2,3-Morpholinedione, 4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L18 ANSWER 219 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1971:437908 CAPLUS  
 DN 75:37908  
 TI Azo dye  
 IN Kubba, Parkash; Jenny, Walter  
 PA Ciba-Geigy A.-G.  
 SO Ger. Offen., 54 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2049231	A	19710429	DE 1970-2049231	19701007
	CH 543568	A	19731214	CH 1969-15522	19691016
	CH 560672	A	19750415	CH 1969-15523	19691016
	FR 2070699	A5	19710917	FR 1970-34075	19700921
	NL 7015159	A	19710420	NL 1970-15159	19701015
	ES 384545	A1	19730901	ES 1970-384545	19701015
	JP 48012406	B4	19730420	JP 1970-90861	19701016
	GB 1328258	A	19730830	GB 1970-49247	19701016
	GB 1328259	A	19730830	GB 1971-48980	19701016
PRAI	CH 1969-15522		19691016		
	CH 1969-15523		19691016		
	CH 1970-12286		19700817		

GI For diagram(s), see printed CA Issue.

AB H<sub>2</sub>O-insol. 2-chloro-4'-[N-(2 - hydroxyethyl) -N - (N - methylcarbamoylmethyl)amino]-2'-methyl-4-nitroazobenzene (I) was prepd.  
 by

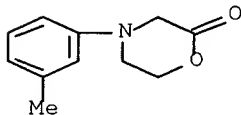
coupling diazotized 2-chloro-4-nitroaniline with m-MeC<sub>6</sub>H<sub>4</sub>N(CH<sub>2</sub>CONHMe)CH<sub>2</sub>CH<sub>2</sub>OH and gave a fast red shade on polyesters.

IT **20127-72-4P**

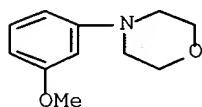
RL: IMF (Industrial manufacture); PREP (Preparation)  
 (prepn. of)

RN 20127-72-4 CAPLUS

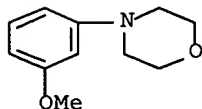
CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 220 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1971:141175 CAPLUS  
 DN 74:141175  
 TI Syntheses of heterocyclic compounds. CCCLXXXVII. Benzyne reaction. X. Benzyne reaction of ortho substituted halobenzenes with cyclohexanone in secondary cyclic amines or in organic solvents  
 AU Kametani, Tetsuji; Noguchi, Shunsaku; Agata, Isao; Aono, Tetsuya; Kigasawa, Kazuo; Hiiragi, Mineharu; Hayasaka, Tetsutaro; Kusama, Osamu  
 CS Pharm. Inst., Tohoku Univ., Sendai, Japan  
 SO Journal of the Chemical Society [Section] C: Organic (1971), (6), 1047-50  
 CODEN: JSOOAX; ISSN: 0022-4952  
 DT Journal  
 LA English  
 GI For diagram(s), see printed CA Issue.  
 AB The reaction of cyclohexanone with 1-methoxy-1,3-cyclohexadien-5-yne (I) in pyrrolidine, piperidine, morpholine, dioxane, and THF gave 4-52% 2-(3-methoxyphenyl)cyclohexanone (II). Reaction of the cyclohexanone enamines (III, R = Et<sub>2</sub>N, piperidino, morpholino, MeNPh) with I in THF gave 20-50% II and 9-49% corresponding hexahydrobiphenylenes (IV).  
 IT **32040-09-8P 32040-10-1P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 32040-09-8 CAPLUS  
 CN Morpholine, 4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

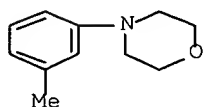


RN 32040-10-1 CAPLUS  
 CN Morpholine, 4-(m-methoxyphenyl)-, hydrochloride (8CI) (CA INDEX NAME)

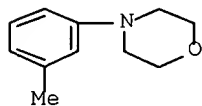


● HCl

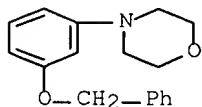
L18 ANSWER 221 OF 232 CAPLUS COPYRIGHT 2003 ACS on .STN  
 AN 1971:125012 CAPLUS  
 DN 74:125012  
 TI Reduction by dissolving metals. XVI. Reactions of aromatic amines with metal-ammonia solutions  
 AU Birch, Arthur J.; Hutchinson, E. G.; Rao, G. S. R. Subba  
 CS Res. Sch. Chem., Aust. Natl. Univ., Canberra, Australia  
 SO Journal of the Chemical Society [Section] C: Organic (1971), (4), 637-42  
 CODEN: JSOOAX; ISSN: 0022-4952  
 DT Journal  
 LA English  
 GI For diagram(s), see printed CA Issue.  
 AB N,N-Dimethylanilines and N-arylmorpholines were reduced in NH<sub>3</sub>(l) by Li and EtMe<sub>2</sub>COH to give conjugated cyclohexadienylamines, except that redn. of ortho-substituted amines (e.g., o-anisidine) gave stable unconjugated cyclohexadienylamines (e.g., I). In some other cases unconjugated dienylamines were isolated, but they underwent ready thermal conjugation at >30.degree..  
 IT **7025-91-4**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (dissolving metal redn. of)  
 RN 7025-91-4 CAPLUS  
 CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



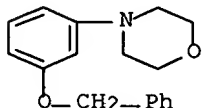
L18 ANSWER 222 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1971:53245 CAPLUS  
 DN 74:53245  
 TI Benzyne reaction. IX. Benzyne reaction of o-halobenzenes with acetonitrile or phenylacetonitrile in organic solvents  
 AU Kametani, Tetsuji; Kigasawa, Kazuo; Hiiragi, Mineharu; Aoyama, T.; Kusama, Osamu  
 CS Pharm. Inst., Tohoku Univ., Sendai, Japan  
 SO Journal of Organic Chemistry (1971), 36(2), 327-330  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 AB Benzyne reaction of a no. of ortho-substituted halobenzenes with MeCN or PhCH<sub>2</sub>CN was carried out, in various org. solvents together with the appropriate amines in the presence of NaNH<sub>2</sub>, to give the desired meta-substituted phenylacetonitriles together with meta-substituted amino  
 compds. When o-chloro- and o-methylhalobenzene were used in this reaction, a mixt. of the corresponding 1,3- and 1,2-disubstituted benzenes was obtained.  
 IT **7025-91-4P 26926-56-7P 26926-57-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 7025-91-4 CAPLUS  
 CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



RN 26926-56-7 CAPLUS  
 CN Morpholine, 4-[m-(benzyloxy)phenyl]- (8CI) (CA INDEX NAME)



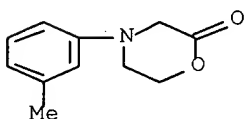
RN 26926-57-8 CAPLUS  
 CN Morpholine, 4-[m-(benzyloxy)phenyl]-, hydrochloride (8CI) (CA INDEX NAME)



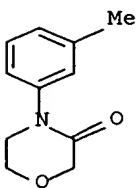
● HCl



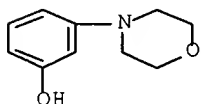
L18 ANSWER 223 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1970:466523 CAPLUS  
 DN 73:66523  
 TI Reaction of some N-(2-hydroxyalkyl)arylamines with monochloroacetic acid derivatives  
 AU Tulyaganov, S. R.; Seitkasymov, Zh.  
 CS Inst. Khim. Rast. Veshchestv, Tashkent, USSR  
 SO Zhurnal Organicheskoi Khimii (1970), 6(6), 1305-8  
 CODEN: ZORKAE; ISSN: 0514-7492  
 DT Journal  
 LA Russian  
 GI For diagram(s), see printed CA Issue.  
 AB The reaction of ClCH<sub>2</sub>COCl with RC<sub>6</sub>H<sub>4</sub>NHCH<sub>2</sub>CH(OR)R<sub>1</sub> gave RC<sub>6</sub>H<sub>4</sub>N(COCH<sub>2</sub>Cl)CH<sub>2</sub>CH(OH)R<sub>1</sub> (I). The condensation of I with ClCH<sub>2</sub>CO<sub>2</sub>Et (II) in C<sub>6</sub>H<sub>6</sub> soln. contg. NaOH gave 4-RC<sub>6</sub>H<sub>4</sub>-substituted-2-morpholinones. The condensation of RC<sub>6</sub>H<sub>4</sub>N(COCH<sub>2</sub>Cl)CH<sub>2</sub>CH(ONa)R<sub>1</sub> with II gave substituted 3-morpholinones (III). III were also prepd. by the cyclization of N-(2-hydroxyalkyl)chloroacetanilides.  
 IT **20127-72-4P 29518-13-6P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 20127-72-4 CAPLUS  
 CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



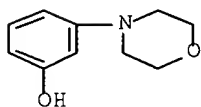
RN 29518-13-6 CAPLUS  
 CN 3-Morpholinone, 4-m-tolyl- (8CI) (CA INDEX NAME)



L18 ANSWER 224 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1970:403599 CAPLUS  
 DN 73:3599  
 TI Aminobenzenes. VII. N-Persubstituted 3-aminophenols and  
 1,3-diaminobenzenes  
 AU Effenberger, Franz; Prossel, Guenter; Auer, Eberhard; Fischer, Peter  
 CS Inst. Org. Chem., Univ. Stuttgart, Stuttgart, Fed. Rep. Ger.  
 SO Chemische Berichte (1970), 103(5), 1456-62  
 CODEN: CHBEAM; ISSN: 0009-2940  
 DT Journal  
 LA German  
 AB Reaction of resorcinol with RR1NH at 200-300.degree., gave 18-40%  
 m-HOC6H4NRR1 (I) [where RR1 = Me or Et, or (NRR1 =) piperidino or  
 morpholino]. I (NRR1 - pyrrolidino) was obtained from pyrrolidine at  
 100.degree. in 80% yield. 1,3-(RR1N)2C6H4 (II) [where R = R1 = iso-Pr  
 or  
 (NRR1 =) pyrrolidino or piperidino] were obtained from O-Cl2C6H4, LiPh,  
 and RR1NH in 29-63% yield via the chlorobenzene intermediate. Reaction  
 of  
 resorcinol with pyrrolidine at 200.degree. or with piperidine at  
 280.degree. gave 85% II (NRR1-pyrrolidino) or 0.6% II (NRR1 =  
 piperidino),  
 resp.  
 IT **27292-49-5P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 27292-49-5 CAPLUS  
 CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 225 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1970:403589 CAPLUS  
 DN 73:3589  
 TI Synthetic schistosomicides. XVI. 5-(Mono- and dialkylamino)-2-nitrosophenols, 2-amino-5-(dialkylamino)phenols, and related compounds  
 AU Elslager, Edward F.; Worth, Donald F.  
 CS Div. of Med. and Sci. Affairs, Parke Davis and Co., Ann Arbor, MI, USA  
 SO Journal of Medicinal Chemistry (1970), 13(3), 370-6  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 AB Various 5-(mono- and dialkylamino)-2-nitrosophenols were prepd. by nitrosation of the corresponding m-(mono- and dialkylamino)phenols, obtained by heating resorcinol with an excess of the appropriate amine at 200.degree., or by alkylation of m-aminophenol with an alkyl halide. 5-(Dimethylamino)-2-nitrosophenol, 5-(diethylamino)-2-nitrosophenol (I), 2-nitroso-5-(1-pyrrolidinyl)phenol, and 2-amino-5-(diethylamino)phenol, a potential metabolite of I, displayed strong schistosomicidal activity and effected a 70-100% redn. of adult Schistosoma mansoni in mice at daily doses of 177-568 mg/kg for 14 days. Structure-activity relationship are summarized, and information concerning potential metabolites and the possible mode of action of the nitrosophenols is discussed.  
 IT **27292-49-5P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 27292-49-5 CAPLUS  
 CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 226 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1969:481380 CAPLUS  
 DN 71:81380  
 TI Diaminetetraacetic bisanhydrides  
 PA Geigy, J. R., A.-G.  
 SO Fr., 10 pp.  
 CODEN: FRXXAK  
 DT Patent  
 LA French  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1548888		19681028		
	CH 474533			CH	
	DE 1695050			DE	
	GB 1161461			GB	
	US 3660388		19720000	US	
PRAI	CH		19661214		

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), in which X is alkylene or arylene, are prep. by heating the corresponding diaminetetraacetic acid with a monocarboxylic anhydride and a tertiary amine <150.degree.. For example, 364 g. ethylenediaminetetraacetic acid, 510 g. Ac2O, and 600 g. C5H5N, heated

24 hrs. at 65.degree., cooled and filtered, gave 96% 1,2-bis(2,6-dioxo-4-morpholinyl)ethane (I, X = CH2CH2) (Ia), m. 195.degree.. Ia was also prepd. in yields of 88-96% using (EtCO)2O or (PhCO)2O in place of Ac2O,

or

N-methylmorpholine or triethylenediamine in place of the C5H5N. Also prepd. were the following I (X, m.p., and % yield given): CH2CH2N(CH2CO2H)CH2CH2, 172.degree., 95.2; p-C6H4, 300.degree., 72.5; m-C6H4, 300.degree., 93.5; (CH2)3, 77-80.degree., 87.5; CHMeCH2, 130.degree. (MeCN), -; (CH2)6, 103-4.degree., 83.2; (CH2)12, -, 76.9; CH2CH2OCH2CH2, 90.degree., 94.5; CH2CH2OCH2CH2OCH2CH2, - (n40D 1.5144), 97.4; CH2CH(CH2CH2)2CHCH2 [CH(CH2CH2)2CH = cyclohexylidene], 189.degree. (MeCN), 91.8; CH(CH2CH2)2CHCH2CH(CH2CH2)2CH, 127.degree., 94.5; (CH2)3N(CH2CH2)2N(CH2)3, -, and 86.5; and p-C6H4C6H4-p, 300.degree., and 83.5. I may be used in place of pyromellitic dianhydride in reactions with epoxides to form epoxy resins. For example, 10 g. 2,2,-bis(p-(2,3-epoxy-propyloxyphenyl)propane and 0.2 ml. Bu3N were

heated

to 140.degree. and 0.5 g. Ia was added during 30 min. After heating 6 hrs. at 140.degree., 9 hrs. at 150.degree., 4 hrs. at 180.degree., and 7 hrs. at 210.degree., there was obtained a transparent resin, m. 100.degree.. Similar resins were obtained from I and 1-epoxyethyl-3,4-epoxycyclohexane, dipentene dioxide, 3,4-epoxyhexahydrobenzal-3',4'-

epoxy-

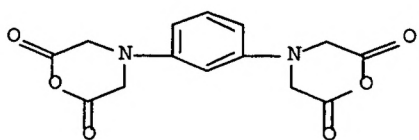
1',1'-bis-(hydroxymethyl)cyclohexane, 3,4-epoxy-6-methylcyclohexyl adipate, and p-(2,3-epoxypropyloxy)toluene.

IT **23910-52-3P**

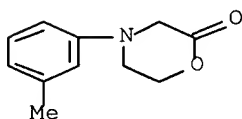
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 23910-52-3 CAPLUS

CN 2,6-Morpholinedione, 4,4'-m-phenylenedi- (8CI) (CA INDEX NAME)



L18 ANSWER 227 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1968:506141 CAPLUS  
 DN 69:106141  
 TI N-Aryl-N-(2-hydroxyethyl)glycines and their lactones  
 AU Lukosaitiene, K.; Degutis, J.  
 CS Kaunas. Politekh. Inst., Kaunas, USSR  
 SO Zhurnal Organicheskoi Khimii (1968), 4(7), 1223-5  
 CODEN: ZORKAE; ISSN: 0514-7492  
 DT Journal  
 LA Russian  
 GI For diagram(s), see printed CA Issue.  
 AB The reaction of PhNHCH<sub>2</sub>CO<sub>2</sub>Et or m-MeC<sub>6</sub>H<sub>4</sub>NHCH<sub>2</sub>CO<sub>2</sub>Et with ethylene oxide  
 at  
 room temp. in the presence of AcOH as catalyst gave  
 ArN(CH<sub>2</sub>CH<sub>2</sub>OH)CH<sub>2</sub>CO<sub>2</sub>Et  
 (not sepd.), which on distn. in vacuo gave the corresponding lactones  
 (I).  
 I (R = Ph) m. 75-6.degree., b<sub>2</sub> 148-9.degree.; I (R = m-MeC<sub>6</sub>H<sub>4</sub>) m,  
 62-3.degree., b<sub>2</sub> 158-9.degree.. Both the lactones the esters are  
 hydrolyzed nearly quant. to PhN(CH<sub>2</sub>CH<sub>2</sub>OH)CH<sub>2</sub>CO<sub>2</sub>H, m. 99-100; or  
 m-MeC<sub>6</sub>H<sub>4</sub>N(CH<sub>2</sub>CH<sub>2</sub>OH)CH<sub>2</sub>CO<sub>2</sub>H, m. 111-12.degree..  
 IT **20127-72-4P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 20127-72-4 CAPLUS  
 CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 228 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1966:456551 CAPLUS

DN 65:56551

OREF 65:10517f-g

TI Selectivity of the reaction of catalytic dehydration of certain  
N-alkyl-N-aryl-2-aminoethanols

AU Verdier, Alain; Lattes, Armand

CS Inst. Natl. Sci. Appl., Toulouse

SO Bulletin de la Societe Chimique de France (1966), (3), 1043-9

CODEN: BSCFAS; ISSN: 0037-8968

DT Journal

LA French

AB Dehydration of the titled compds. yields different products depending on  
the catalyst. MgO and K<sub>2</sub>CO<sub>3</sub> do not dehydrate N-methyl-N-phenyl-2-  
aminoethanol (I). Alumina leads to 68% N,N'-dimethyl-N,N'-diphenyl-  
2,2'-diaminodiethyl ether, m. 47.degree.; very little N,  
N'-dimethyl-N,N'-diphenylethylenediamine (II) is obtained. The yield of

II

can be increased up to 70% by heating I on alumina in the presence of  
N-methylaniline. Sulfuric acid (1 wt.-%) leads to a similar reaction  
starting at 220.degree.. A radically different dehydration takes place  
with p-toluenesulfonic acid (5 wt.-%) as catalyst. Thus, I yields 45%  
PhNMe<sub>2</sub>, 42% N-phenylmorpholine, and 22.5% N,N'-diphenylpiperazine.

Iodine

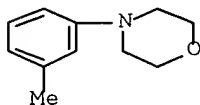
as catalyst leads to the same products with different yields: 18%, <1%,  
and 7.5%, resp.

IT 7025-91-4, Morpholine, 4-m-tolyl-

(formation in dehydration of 2-(N-ethyl-m-toluidino)ethanol)

RN 7025-91-4 CAPLUS

CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



AN 1965:29508 CAPLUS

DN 62:29508

OREF 62:5218h,5219a-h,5220a-d

TI Some 2-fluoroethylamines derived from hydrocinnamic acid, phenylpyruvic acid, and DL-phenylalanine

AU Martinez, A. P.; Lee, W. W.; Goodman, L.

CS Stanford Res. Inst., Menlo Park, CA

SO Tetrahedron (1964), 20(12), 2763-71

CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

AB To a stirred soln. of 12.0 g. N-benzyloxycarbonylaniline in 110 ml. freshly distd. HCONMe<sub>2</sub> (DMF) was added 3.20 g. 54% NaH suspension in mineral oil, the suspension having been previously washed with petr.

ether

to remove most of the oil. After the reaction mixt. was stirred at room temp. 15 min. protected from moisture, a soln. of 13.1 g. 2-fluoroethyl p-toluenesulfonate in 20 ml. DMF was added and the mixt. stirred 4 hrs.

or

longer until the ir spectrum of a sample indicated reaction was complete.

The mixt. was evapd. to dryness in vacuo, the residue worked up and partitioned between 200 ml. each H<sub>2</sub>O and CH<sub>2</sub>Cl<sub>2</sub>, and the org. layer sepd.,

washed with H<sub>2</sub>O, dried, and evapd. in vacuo to yield 15.0 g.

N-benzyloxycarbonyl-N-(2-fluoroethyl)aniline (I), a yellow oil. A cooled

soln. of 60 ml. 30-2% HBr in glacial AcOH was added rapidly to 12.1 g. I with stirring and cooling to -5.degree., the soln. stirred 10 min., the cooling bath removed, stirring continued 60 min., the mixt. poured into

a

well-stirred mixt. of 100 g. Na<sub>2</sub>CO<sub>3</sub>, 300 ml. satd. aq. NaHCO<sub>3</sub> soln., and 200 ml. Et<sub>2</sub>O, and the Et<sub>2</sub>O layer sepd., washed with 100 ml. H<sub>2</sub>O, dried, and satd. with anhyd. HCl to give 7.59 g. N-(2-fluoroethyl)aniline-HCl (II.HCl), m. 164.5-5.5.degree. (abs. EtOH). I may also be converted to II.HCl by hydrogenolysis over 5% Pd-C in Me Cellosolve contg. HCl at 1 atm. 20 hrs. A soln. of 4.68 g. II, regenerated from the HCl salt, and 1.58 g. ethylene oxide in 30 ml. glacial AcOH was stirred at room temp. overnight in a stoppered flask, the soln. evapd. in vacuo, and the

residue

worked up to yield 5.20 g. N-(2-fluoroethyl)-N-(2-hydroxyethyl)aniline (III), a light amber oil. To a stirred mixt. of 35.0 g. anhyd., finely divided KF in 150 g. 1:1 ethylene carbonate-1-methyl-2-pyrrolidone

heated

at 150.degree. (bath temp.) was added in 1 portion 68.5 g.

N,N-bis(2-p-tolylsulfonyloxyethyl)aniline, the mixt. heated and stirred at

150.degree. 30 min. under N, cooled, poured into 1.6 l. H<sub>2</sub>O, and extd. with petr. ether, b. 65-110.degree., and the org. exts. were washed with H<sub>2</sub>O, dried, and evapd. at 60.degree./15 mm. to yield 21.0 g.

N,N-bis(2-fluoroethyl)aniline (IV), b0.03 64-70.degree. n<sub>23D</sub> 1.5328. A sample purified by preparative gas chromatography gave n<sub>23D</sub> 1.5274. An Et<sub>2</sub>O soln. of IV was satd. with anhyd. HCl to yield IV.HCl, m.

80.5-1.0.degree. (C<sub>6</sub>H<sub>6</sub> contg. a trace of EtOH). By the method of Wiley and Irick, 20 ml. POCl<sub>3</sub>, 60 ml. freshly distd. DMF, and 10.3 g. III

gave,



after 20 min. at 125.degree., 12.55 g. p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]benzaldehyde (V). A soln. of 0.39 g. V and 0.29 g. p-BrC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> in 20 ml. abs. EtOH was refluxed 45 min., cooled, and filtered to yield 0.42 g. N-[p-[N'-(2-chloroethyl)-N'-(2-fluoroethyl)amino]benzylidene]-p-bromoaniline (VI), m. 127.5-28.degree. (abs. EtOH). By the procedure used to prep. V, IV was converted to p-[bis(2-fluoroethyl)amino]-benzaldehyde (VII), m. 45.5-6.5.degree. (EtOH-H<sub>2</sub>O). Reaction of VII with p-anisidine gave 73% N-[p-[bis(2-fluoroethyl)amino]-benzylidene]-p-methoxyaniline (VIII), m. 73.5-4.0.degree. (EtOH). V was converted by the conventional method to the azlactone 4-[p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]benzylidene]-2-phenyl-2-oxazolin-5-one (IX), solvated, m. 60-80.degree.. Similarly, VII yielded 4-[p-[bis(2-fluoroethyl)amino]benzylidene]-2-phenyl-2-oxazolin-5-one (X), m. 138-40.degree. (C<sub>6</sub>H<sub>6</sub>). A soln. of 4.00 g. IX in 200 ml. abs. MeOH was satd. with anhyd. HCl at 0.degree., then heated at reflux 18 hrs. with protection from moisture. The soln. was evapd. to dryness in vacuo to remove all Me benzoate, the residue dissolved in 50 ml. concd. HCl, the soln. heated at 65.degree. 25 min., quickly chilled in an Me<sub>2</sub>CO-dry ice bath, and neutralized with a cold soln. of 80 g. AcONa.3H<sub>2</sub>O in 200 ml. H<sub>2</sub>O, the cold mixt. extd. with Et<sub>2</sub>O, and the exts. combined, dried, concd. in vacuo to about 100 ml., and worked up to yield 1.25 g. p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]phenylpyruvic acid (XI), m. 147.5-8.0.degree.. Similarly, 1.78 g. X gave 0.21 g. p-[N,N-bis(2-fluoroethyl)amino]phenylpyruvic acid (XII), m. 156-7.degree. (CH<sub>2</sub>Cl<sub>2</sub>-PhMe). By a known procedure, a cold soln. of 34.0 g. IX in 170 ml. AcOH and 260 ml. 12N HCl was treated with 87 g. Zn at below 9.degree. to afford 21.9 g. N-benzoyl-3-[p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]phenyl]-DL-alanine (XIII), m. 159.5-60.5.degree. (1:1 (MeOCH<sub>2</sub>)<sub>2</sub>-H<sub>2</sub>O). Similarly, 11.4 g. X was treated with Zn and acid at 10-15.degree. 1.5 hrs. to yield 9.3 g. N-benzoyl-3-[p-[bis(2-fluoroethyl)amino]phenyl]-DL-alanine (XIV), m. 178-9.degree.. A soln. of 1.00 g. XIV in 25 ml. 12N HCl was heated 5 hrs. at 115-18.degree. (bath temp.), cooled in an ice bath, and extd. with two 60-ml. portions Et<sub>2</sub>O to remove BzOH. The aq. soln. was evapd. at 55.degree./0.1 mm. to yield 0.80 g. p-[bis(2-fluoroethyl)amino]phenyl-DL-alanine-HCl (XV.HCl), which was dissolved in 15 ml. H<sub>2</sub>O and neutralized to pH 6 with AcONa.3H<sub>2</sub>O. The soln. cooled overnight at 5.degree. and the ppt. triturated with Me<sub>2</sub>CO at room temp. gave XV.0.5H<sub>2</sub>O, m. 176-7.degree.. Similar treatment of XIII with hot acid yielded p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]phenylalanine (XVI), m. 180-1.degree. (abs. EtOH). To a stirred soln. of 17.3 g. Me m-aminohydrocinnamate in 60 ml. pyridine and 160 ml. CH<sub>2</sub>Cl<sub>2</sub> at room temp. was added 16.0 g. benzyloxycarbonyl chloride in 80 ml. CH<sub>2</sub>Cl<sub>2</sub>, the reaction mixt. kept overnight at room temp., then

washed successively with H<sub>2</sub>O, 20% HCl, H<sub>2</sub>O satd. NaHCO<sub>3</sub> soln., and H<sub>2</sub>O, and the org. layer dried and evapd. in vacuo to yield 23.7 g. Me m-(benzyloxycarbonylamino)hydrocinnamate (XVII), m. 52.5-3.0.degree. (Et<sub>2</sub>O-Skellysolve B). By the same procedure used to prep. I, the amide XVII afforded a quant. yield of Me m-[N-benzyloxycarbonyl-N-(2-fluoroethyl)amino]hydrocinnamate (XVIII), as an oil. The procedure used to convert I to II was applied to 3.53 g. XVIII to give 1.90 g. crude Me m-(2-fluoroethylamino)hydrocinnamate-HCl (XIX.HCl), which, after regeneration of the base and repptn. of the HCl salt from C<sub>6</sub>H<sub>6</sub>, gave

1.15 g. XIX.HCl, m. 104-5.degree.. A soln. of 5.00 g. XIX in 26 ml. glacial AcOH was treated with an equimolar amt. of ethylene oxide to give 5.42

g. Me m-[N-(2-fluoroethyl)-N-(2-hydroxyethyl)amino]hydrocinnamate (XX). XX (1.70 g.) in 15 ml. POCl<sub>3</sub> was heated on a steam bath 30 min. with protection from moisture, poured over 150 ml. ice and H<sub>2</sub>O, stirred overnight, washed with CH<sub>2</sub>Cl<sub>2</sub>, neutralized with solid AcONa.3H<sub>2</sub>O to pH

5, and extd. with CH<sub>2</sub>Cl<sub>2</sub>, and the exts. were combined, dried, and evapd. in vacuo to give 1.20 g. m-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]hydrocinnamic acid (XXI), m. 76.0-6.5.degree. (Skellysolve B-CH<sub>2</sub>Cl<sub>2</sub> contg. Norit). To an ice-cooled, stirred soln. of 3.62 g. Me m-[bis(2-hydroxyethyl)amino]hydrocinnamate in 50 ml. pyridine was added 5.10 g. p-toluenesulfonyl chloride, the mixt. kept in an ice bath 5 hrs. and in a refrigerator overnight, dild. with 100 ml. CH<sub>2</sub>Cl<sub>2</sub>, washed with four portions H<sub>2</sub>O, the last wash being gradually acidified with aq. HCl to pH 5, washed with 50 ml. satd. NaHCO<sub>3</sub> soln., then with

50 ml. H<sub>2</sub>O, and the org. phase dried and evapd. in vacuo at 30.degree. to give 4.82 g. Me m-[bis(2-tosyloxyethyl)amino]hydrocinnamate (XXII), a viscous gum. A stirred suspension of 5.0 g. dry KF in 8.0 ml. diethylene glycol and 50 ml. C<sub>6</sub>H<sub>6</sub> was protected from moisture and distd. to remove about 40 ml. C<sub>6</sub>H<sub>6</sub>, a soln. contg. 2.00 g. XXII added, the bath temp. raised until all the C<sub>6</sub>H<sub>6</sub> had distd., the mixt. maintained at 160-70.degree. 2 hrs., the reaction mixt. partitioned between 50 ml. CH<sub>2</sub>Cl<sub>2</sub> and 150 ml. H<sub>2</sub>O, and the org. layer washed with 150 ml. H<sub>2</sub>O, dried,

and evapd. in vacuo to obtain 1.22g. oil. A soln. of 1.10 g. of the oil in 4 ml. N methanolic NaOH and 0.20 ml. H<sub>2</sub>O was stirred at room temp. 18 hrs. and evapd. in vacuo, the residue taken up in 25 ml. H<sub>2</sub>O, washed with

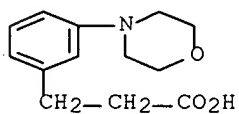
25-ml. portions of CH<sub>2</sub>Cl<sub>2</sub> and Et<sub>2</sub>O, acidified with 4 ml. N HCl, and extd. with 25 ml. CH<sub>2</sub>Cl<sub>2</sub>, the org. ext. dried and evapd. in vacuo, the resulting

oil extd. with 50-ml. portions Skellysolve B at reflux, and the exts. were cooled to room temp., filtered through Celite, and cooled in an ice bath to yield 0.20 g. m-[bis(2-fluoroethyl)amino]hydrocinnamic acid (XXIII),

m. 76-7.degree.. A mixt. of 4.34 g. XXII and 2.20 g. KF in 20 ml. dry DMF was stirred and heated at reflux 22 hrs., worked up, and hydrolyzed as

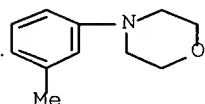
for XXIII to obtain 0.58 g. m-morpholinohydrocinnamic acid, m. 137.0-7.5.degree. (abs. EtOH). Products and intermediates were identified

by elemental analysis, ir or uv spectra, and paper chromatography.  
These  
compds. were prepd. for comparison with the corresponding Cl-contg. N  
mustards in cancer chemotherapy. Cf. W. and I., CA 55, 16455a.  
IT **1144-94-1**, Hydrocinnamic acid, m-morpholino-  
(prepn. of)  
RN 1144-94-1 CAPLUS  
CN Hydrocinnamic acid, m-morpholino- (7CI, 8CI) (CA INDEX NAME)



L18 ANSWER 230 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN  
AN 1958:30302 CAPLUS  
DN 52:30302  
OREF 52:5485g-h  
TI Morpholines  
IN Weiss, E.  
PA Badische Anilin- & Soda-Fabrik Akt.-Ges.  
DT Patent  
LA Unavailable  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 844006		19520714	DE	
AB	Morpholines are made by heating a diethanolamine in the gaseous phase with				
	dehydrating catalysts such as Al oxide activated with Th oxide to 280-350.degree.. Thus, a tube filled with 600 cc. Al oxide catalyst contg. 5% Th oxide is charged with 100 g./hr. diethanolaniline, obtained from an evaporator, together with 50 l. N at 300-10.degree.. The crude product is sepd. from H2O and distd., yielding 50-65 g./hr. N-phenylmorpholine, b760 260-5.degree., b1, 105-10.degree.. Similarly prepd. are: N-m-tolylmorpholine, b760 265-70.degree., b1 110-15; N-(m-chlorophenyl)morpholine, b1 123-5.degree.; N-cyclohexylmorpholine, b20 130-5.degree.; N-butylmorpholine, b20 77-81.degree..				
IT	<b>7025-91-4</b> , Morpholine, 4-m-tolyl- (prepn. of)				
RN	7025-91-4 CAPLUS				
CN	Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)				



L18 ANSWER 231 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1954:56526 CAPLUS

DN 48:56526

OREF 48:9942a-h

TI Rearrangements in amination by alkali amides in liquid ammonia and by lithium dialkylamides in ether

AU Gilman, Henry; Kyle, Robert H.

CS Iowa State Coll., Ames

SO Journal of the American Chemical Society (1952), 74, 3027-9

CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA Unavailable

AB The reaction of .omicron.-haloanisoles and metal amides was shown by a typical procedure. The .omicron.-haloanisole (0.5 mole) was added to 1 mole NaNH<sub>2</sub> in liquid NH<sub>3</sub> over 0.5 hr. period, the mixt. stirred an addnl. 20 min., and 1 mole NH<sub>4</sub>Cl added during 10-15 min. (over-all time 1 hr.), 250 cc. of C<sub>6</sub>H<sub>6</sub> added, the mixt. warmed to expel NH<sub>3</sub>, and the solids filtered and extd. with C<sub>6</sub>H<sub>6</sub>. HCl bubbled into the combined C<sub>6</sub>H<sub>6</sub> solns. pptd. the HCl salt of m-anisidine (I) from which the free I was

liberated,

b0.15 75-7.degree., n<sub>20</sub>D 1.5809. The following results were obtained [metal, halogen, molar ratio amide-RX, % yield (gross) of I, % recovery

of

RX given]: Na, Cl, 2:1, 46.5, -; Na, Cl, 2:1, 42.5, -; Na, Cl, 4:1,

51.0,

-; Na, Cl, 4:1, 55.1, 3.7; Na, Cl, 4:1, 51.5, -; Na, Cl, 4:1, 35.9,

31.1;

Na, Cl, 4:1 (reaction time 2.5 hrs.), 25.7, -; Na, Cl, 8:1, 42.9, -; Li, Cl, 2:1, 0.0, 65.2; Li, Cl, 2:1, 0.0, 90.3; Li, Br, 2:1 (1.3 hrs.), 5.4, 75.7; K, Cl, 2:1, 44.4, 25.4; K, Cl, 2:1 (0.75 hr.), 33.3, 26.6; K, Cl, 4:1 (0.33 hr.), 35.6, 28.0. The following general procedure was used in LiNEt<sub>2</sub> studies. MeLi (0.25 mole) was added to 0.28 mole of NH<sub>4</sub>Et<sub>2</sub> in

Et<sub>2</sub>O

under N, 0.25 mole .omicron.-haloanisole added and the whole refluxed 24 hrs., hydrolyzed, the Et<sub>2</sub>O layer extd. with HCl, the acid soln. treated with NaOH to liberate the free base, m-methoxydiethylaniline (II), b<sub>14</sub> 146-8.degree., b<sub>0.5</sub> 87-9.degree., n<sub>20</sub>D 1.5437; picrate, yellow prisms,

m.

145-6.degree.. An authentic sample of II was prepd. from m-hydroxydiethylaniline, Me<sub>2</sub>SO<sub>4</sub>, and NaOH. The following results were obtained [halogen, % yield (gross) of II, % recovery of .omicron.-haloanisole, % net yield of II, % yield of anisole (by-

product)

given]: iodine, 5.4, 22.9, 6.9, 22.2; Br, 32.8, 25.3, 43.8, 9.6; Cl,

26.4,

23.9, 34.6, 7.4; F, 26.2, -, -, -. m-Chloroanisole reacts immediately

with

Et<sub>2</sub>NLi, to give after 2 hrs. refluxing a 48% yield of II.

.omicron.-Bromophenol (0.1 mole) and 0.2 mole of Et<sub>2</sub>NLi were refluxed 98 hrs. in Et<sub>2</sub>O to yield 15% m-diethylaminophenol or allowing for a

recovery

of 43% .omicron.-bromophenol, the net yield was 26%. Identity was established by conversion to m-diethylaminoanisole with Me<sub>2</sub>SO<sub>4</sub> and then prepg. the picrate, m. 145.5-6.0.degree.. Bu<sub>2</sub>NLi similarly reacted with .omicron.-chloroanisole to give a 48% yield of m-methoxydibutylaniline (III), b<sub>1.9</sub> 136.5-8.5.degree., n<sub>20</sub>D 1.5205, d<sub>20</sub> 0.951, MR 75.4 (calcd.

76.7); picrate, m. 95-6.degree.. An authentic sample III prep'd. by heating 0.18 mole m-anisidine, 0.54 mole BuI, 0.24 mole Na2CO3, and H2O for 5 hrs., adding Ac2O and 20% NaOH, extg. the mixt. with HCl, and freeing the amine with base. .omicron.-Chlorophenetole and Et2NLi refluxed together for 24 hrs. gave 21% starting material, 27% phenetole (characterized by cleavage to PhOH and prepn. of 2,4,6-tri-Br deriv.)

and

38% m-diethylaminophenetole (IV). Authentic IV was prep'd. from m-diethylaminophenol and Et2SO4, b0.6 97-8.degree., n20D 1.5342;

picrate,

m. 132-3.degree.. Li piperidide (from 0.2 mole MeLi and 0.22 mole piperidine) was refluxed 24 hrs. with 0.2 mole .omicron.-bromoanisole

(V)

to yield 41% (allowing for a 34% recovery of V) m-piperidinoanisole

(VI),

b0.2 110.degree., n20D 1.5628, d20 1.059, MR 58.8; picrate, m. 159.5-60.degree.. VI was identified by comparison with the picrate

formed

from m-chloroanisole and Li piperidide. Li morpholide (from MeLi and

0.23

mole morpholine) was added to V (0.2 mole) in Et2O and refluxed for 24 hrs. to give 8.6% yield of m-morpholinoanisole (VII), b0.15 113.degree., n20D 1.5650; picrate, m. 196-7.degree.. VII was also obtained from Li morpholide and m-chloroanisole. Et2NLi (0.22 mole) and 0.09 mole p-dibromobenzene were refluxed 25 hrs. in Et2O to yield 15%

diethylaniline

(picrates, m. 137-8.degree.) and 14% p-bromodiethylaniline (picrates, m. 165-6.degree.). From another expt. in which 0.1 mole each of the

reagents

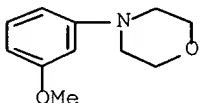
were used, there was obtained 23% p-bromodiethylaniline.

IT 32040-09-8, Morpholine, 4-(m-methoxyphenyl)-

(prepn. of)

RN 32040-09-8 CAPLUS

CN Morpholine, 4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 232 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1948:37239 CAPLUS

DN 42:37239

OREF 42:7911g-i,7912a-b

TI Toxicity of selected organic compounds to insects. I. Tests for general toxicity on larvae of *Musca*, *Tribolium*, and *Ephestia*, and adults of *Sitophilus*

AU Brown, A. W. A.; Robinson, D. B. W.; Hurtig, H.; Wenner, B. J.

CS Exptl. Sta., Suffield, Alberta, Can.

SO Can. J. Research (1948), 26D, 177-87

DT Journal

LA Unavailable

AB The toxicity of 127 synthetic org. compds. was tested against lab.-reared

larvae of the housefly (*M. domestica*), the confused flour beetle (*T. confusum*), and the Mediterranean flour moth (*E. kuehniella*), and adults

of

the granary weevil (*S. granarius*). The compds. were mixed with the insects' food at varied concns. and the LC50 and LC90 were detd. from

the

exptl. data. Of the compds. tested 26 were chlorinated hydrocarbons, 13 DDT-type compds., 16 cyanides and nitriles, 5 N-methyl carbamates, 6 semicarbazones, 22 morpholine derivs., 6 nitro compds., and 7 thiocyanates; the balance were of varied types. The most highly toxic compds. were .gamma.-benzene hexachloride and chlordan (distd. from

tech.

chlordan); DDT was about 1/15 av. as toxic as the above compds., but was more toxic than any of its analogs, including methoxychlor.

Hexachloropropene, hexachlorobutadiene, CCl<sub>3</sub>CHClCCl<sub>3</sub>, and HCCl<sub>2</sub>CCl<sub>2</sub>CCl<sub>3</sub>, all were highly toxic, apparently because of their powerful fumigant action. Phenylboric acid and benzyl thiocyanate were highly toxic; the .omicron.- and p-chloro- and 2,4-dichloro-derivs. of the latter were slightly less toxic. 4,6-Dinitro-.omicron.-cresol, 2-cyclohexyl-4,6-dinitrophenol, .beta.-nitrostyrene, and 2,3-dimethyl-2,3-dinitrobutane were toxic to granary weevil adults but were almost ineffective against housefly larvae. The semicarbazones were virtually ineffective, and

only

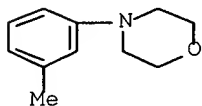
4-(p- and 4-(m-tolyl))morpholine, of the 22 morpholine derivs. tested, were toxic. Of the 15 compds. most toxic to housefly larvae, 5 were nitriles, .omicron.-chlorophenylacetoneitrile, phenylacetoneitrile, and phthalonitrile being almost equally and most highly effective.

IT 7025-91-4, Morpholine, 4-m-tolyl-

(insecticidal action of)

RN 7025-91-4 CAPLUS

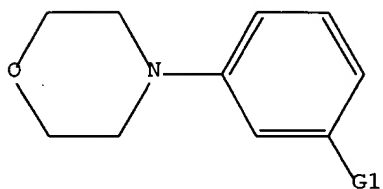
CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



=> d 11; d 14; d 18;; d 111; d 115; d his; log y

L1 HAS NO ANSWERS

L1 STR

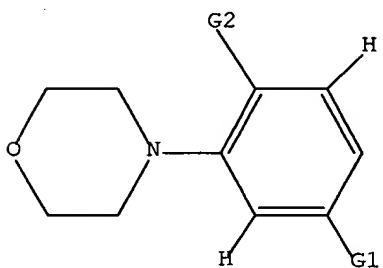


G1 C,O,S,N,P

Structure attributes must be viewed using STN Express query preparation.

L4 HAS NO ANSWERS

L4 STR



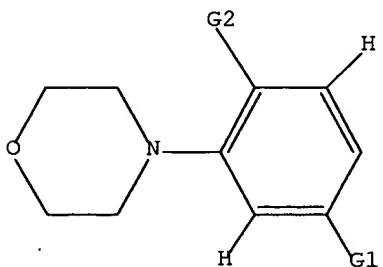
G1 C,O,S,N,P

G2 H,O,S,N,X

Structure attributes must be viewed using STN Express query preparation.

L8 HAS NO ANSWERS

L8 STR



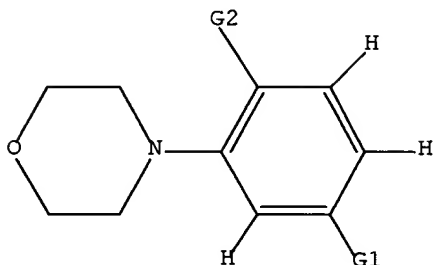
G1 C,O,S,N,P

G2 H,O,S,N,X



Structure attributes must be viewed using STN Express query preparation.

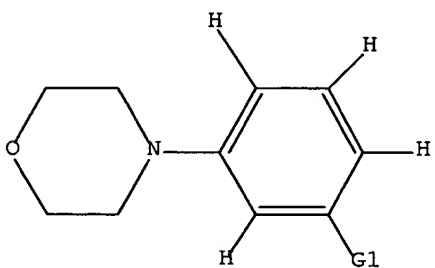
L11 HAS NO ANSWERS  
L11 STR



G1 C, O, S, N, P  
G2 H, O, S, N, X

Structure attributes must be viewed using STN Express query preparation.

L15 HAS NO ANSWERS  
L15 STR



G1 C, O, S, N, P  
G2 H, O, S, N, X

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 19:36:23 ON 28 OCT 2003)

FILE 'REGISTRY' ENTERED AT 19:36:30 ON 28 OCT 2003

L1 STRUCTURE UPLOADED  
L2 50 S L1  
L3 6842 S L1 FUL  
L4 STRUCTURE UPLOADED  
L5 50 S L4 SAM SUB=L3

L6            3777 S L4 FUL SUB=L3  
  
 FILE 'CAPLUS' ENTERED AT 19:41:34 ON 28 OCT 2003  
 L7            2311 S L6  
  
 FILE 'STNGUIDE' ENTERED AT 19:42:21 ON 28 OCT 2003  
  
 FILE 'REGISTRY' ENTERED AT 19:42:38 ON 28 OCT 2003  
 L8            STRUCTURE UPLOADED  
 L9            50 S L8 SAM SUB=L6  
 L10          2596 S L8 FUL SUB=L6  
  
 FILE 'STNGUIDE' ENTERED AT 19:44:35 ON 28 OCT 2003  
  
 FILE 'REGISTRY' ENTERED AT 19:45:38 ON 28 OCT 2003  
 L11          STRUCTURE UPLOADED  
 L12          50 S L11 SAM SUB=L10  
 L13          852 S L11 FUL SUB=L10  
  
 FILE 'CAPLUS' ENTERED AT 19:48:08 ON 28 OCT 2003  
 L14          289 S L13  
  
 FILE 'STNGUIDE' ENTERED AT 19:48:21 ON 28 OCT 2003  
  
 FILE 'REGISTRY' ENTERED AT 19:48:42 ON 28 OCT 2003  
 L15          STRUCTURE UPLOADED  
 L16          45 S L15 SAM SUB=L13  
 L17          651 S L15 FUL SUB=L13  
  
 FILE 'CAPLUS' ENTERED AT 19:49:28 ON 28 OCT 2003  
 L18          232 S L17

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	154.49	449.53
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-21.48	-21.48
STN INTERNATIONAL LOGOFF AT 19:51:39 ON 28 OCT 2003		